

## Refine Search

### Search Results -

| Terms                    | Documents |
|--------------------------|-----------|
| tobramycin near5 prodrug | 1         |

Database:

US Pre-Grant Publication Full-Text Database  
 US Patents Full-Text Database  
 US OCR Full-Text Database  
 EPO Abstracts Database  
 JPO Abstracts Database  
 Derwent World Patents Index  
 IBM Technical Disclosure Bulletins

Search:

L3 ▲  
▼





### Search History

DATE: Friday, November 30, 2007    [Purge Queries](#)    [Printable Copy](#)    [Create Case](#)

| <u>Set Name</u><br>side by side                               | <u>Query</u>                 | <u>Hit Count</u> | <u>Set Name</u><br>result set |
|---|------------------------------|------------------|-------------------------------|
| <i>DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI,TDBD; PLUR=YES; OP=OR</i> |                              |                  |                               |
| <u>L3</u>   | tobramycin near5 prodrug     | 1                | <u>L3</u>                     |
| <u>L2</u>   | L1                           | 0                | <u>L2</u>                     |
| <i>DB=USPT; PLUR=YES; OP=OR</i>                               |                              |                  |                               |
| <u>L1</u>   | aminoglycoside near5 prodrug | 0                | <u>L1</u>                     |

END OF SEARCH HISTORY

research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 11:40:39 ON 30 NOV 2007

=> file ca

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'CA' ENTERED AT 11:41:07 ON 30 NOV 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 29 Nov 2007 VOL 147 ISS 24

FILE LAST UPDATED: 29 Nov 2007 (20071129/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s aminoglycoside and prodrug

9516 AMINOGLYCOSIDE

11921 PRODRUG

L1 55 AMINOGLYCOSIDE AND PRODRUG

=> d l1 1-55

L1 ANSWER 1 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 147:462234 CA

TI Method using an antimicrobial compound for reducing the risk of or preventing infection due to surgical or invasive medical procedures

IN Hopkins, Scott J.; Kessler, Robert E.; Collinson, Albert R.; Sutcliffe, Joyce A.

PA USA

SO U.S. Pat. Appl. Publ., 35pp., Cont.-in-part of U.S. Ser. No. 706,932.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

|      | PATENT NO.      | KIND | DATE     | APPLICATION NO. | DATE     |
|------|-----------------|------|----------|-----------------|----------|
| PI   | US 2007249577   | A1   | 20071025 | US 2007-784091  | 20070404 |
|      | US 2007238719   | A1   | 20071011 | US 2006-432228  | 20060510 |
|      | US 2007238720   | A1   | 20071011 | US 2007-706932  | 20070213 |
| PRAI | US 2005-679425P | P    | 20050510 |                 |          |
|      | US 2005-679475P | P    | 20050510 |                 |          |

|                 |    |          |
|-----------------|----|----------|
| US 2005-679511P | P  | 20050510 |
| US 2005-679512P | P  | 20050510 |
| US 2005-680097P | P  | 20050512 |
| US 2005-681398P | P  | 20050516 |
| US 2005-702349P | P  | 20050725 |
| US 2005-712311P | P  | 20050829 |
| US 2005-712459P | P  | 20050829 |
| US 2005-715079P | P  | 20050908 |
| US 2005-715099P | P  | 20050908 |
| US 2006-432228  | A2 | 20060510 |
| US 2007-706932  | A2 | 20070213 |

OS MARPAT 147:462234

L1 ANSWER 2 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 147:53098 CA

TI Preparation of antibacterial 4,5-substituted aminoglycoside analogs for use as prophylactic or therapeutics against microbial infection

IN Swayze, Eric E.; Hanessian, Stephen; Szychowski, Janek; Adhikari, Susanta Sekhar; Pachamuthu, Kandasamy; Wang, Xiaojing; Migawa, Michael T.; Griffey, Richard H.

PA Isis Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 164pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|----|--|------|----------|-----------------|----------|
| PI | WO 2007064954  | A2   | 20070607 | WO 2006-US46122 | 20061201 |
|    | WO 2007064954  | A3   | 20070816 |                 |          |
|    | W:   |      |          |                 |          |
|    | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |      |          |                 |          |
|    | RW:  |      |          |                 |          |
|    | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA   |      |          |                 |          |

PRAI US 2005-742051P P 20051202

OS MARPAT 147:53098

L1 ANSWER 3 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 144:488901 CA

TI Preparation of macrolone erythromycin ketolide derivatives as antibacterial agents

IN Best, Desmond, John; Elder, John, Stephen; Fajdetic, Andrea; Forrest, Andrew, Keith; Sheppard, Robert, John

PA Glaxo Group Limited, UK; Pliva-Istrazivacki Institut D.O.O.

SO PCT Int. Appl., 130 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | WO 2006050942   | A1   | 20060518 | WO 2005-EP12038 | 20051109 |
|    | W:  |      |          |                 |          |
|    | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, |      |          |                 |          |

KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,  
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,  
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,  
 VN, YU, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 AU 2005303961 A1 20060518 AU 2005-303961 20051109  
 CA 2587413 A1 20060518 CA 2005-2587413 20051109  
 EP 1824870 A1 20070829 EP 2005-801894 20051109  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR  
 WO 2007054295 A1 20070518 WO 2006-EP10731 20061107  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,  
 KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,  
 MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,  
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,  
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 WO 2007054296 A1 20070518 WO 2006-EP10733 20061107  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,  
 KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,  
 MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,  
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,  
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 IN 2007DN03539 A 20070831 IN 2007-DN3539 20070511  
 NO 2007002939 A 20070808 NO 2007-2939 20070608  
 PRAI GB 2004-24959 A 20041111  
 WO 2005-EP12038 W 20051109  
 GB 2006-9373 A 20060511  
 OS MARPAT 144:488901  
 RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 4 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 144:468396 CA  
 TI Preparation of macrolone erythromycin ketolide derivatives as  
 antibacterial agents  
 IN Alihodzic, Sulejman; Frydrych, Catherine Simone Victoire; Hunt, Eric  
 PA Glaxo Group Limited, UK; Pliva-Istrazivacki Institut D.O.O.  
 SO PCT Int. Appl., 89 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 1

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 2006050943  | A1   | 20060518 | WO 2005-EP12039 | 20051109 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, |      |          |                 |          |

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,  
 KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,  
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,  
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,  
 VN, YU, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 EP 1824497 A1 20070829 EP 2005-811076 20051109  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR  
 PRAI GB 2004-24961 A 20041111  
 WO 2005-EP12039 W 20051109  
 OS MARPAT 144:468396  
 RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 5 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 144:450873 CA  
 TI Preparation of macrolone erythromycin ketolide derivatives as  
 antibacterial agents  
 IN Frydrych, Catherine, Simone, Victoire  
 PA Glaxo Group Limited, UK  
 SO PCT Int. Appl., 84 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|        | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|--------|---|------|----------|-----------------|----------|
| PI     | WO 2006050941   | A1   | 20060518 | WO 2005-EP12037 | 20051109 |
|        | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,<br>KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,<br>MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,<br>SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,<br>VN, YU, ZA, ZM, ZW |      |          |                 |          |
|        | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,<br>IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,<br>CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,<br>GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,<br>KG, KZ, MD, RU, TJ, TM  |      |          |                 |          |
|        | EP 1824869  | A1   | 20070829 | EP 2005-801631  | 20051109 |
|        | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,<br>IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR  |      |          |                 |          |
| PRAI   | GB 2004-24958   | A    | 20041111 |                 |          |
|        | WO 2005-EP12037   | W    | 20051109 |                 |          |
| OS     | MARPAT 144:450873   |      |          |                 |          |
| RE.CNT | 7   |      |          |                 |          |
|        | THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD<br>ALL CITATIONS AVAILABLE IN THE RE FORMAT  |      |          |                 |          |

L1 ANSWER 6 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 144:331660 CA  
 TI Preparation of macrolide bicyclic 9a-azalide erythromycin derivatives as  
 antibacterial agents  
 IN Or, Yat Sun; Qiu, Yao-Ling; Wang, Guoqiang; Niu, Deqiang; Phan, Ly Tam  
 PA USA  
 SO U.S. Pat. Appl. Publ., 65 pp.  
 CODEN: USXXCO  
 DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.                             | KIND   | DATE     | APPLICATION NO. | DATE     |
|------|--|--|----------|-----------------|----------|
| PI   | US 2006069048                          | A1   | 20060330 | US 2005-236043  | 20050927 |
|      | WO 2006039263                          | A2   | 20060413 | WO 2005-US34578 | 20050928 |
|      | WO 2006039263                          | A3   | 20060720 |                 |          |
|      | W:                                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
|      | RW:                                    | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM   |          |                 |          |
| PRAI | US 2004-614171P                        | P  | 20040929 |                 |          |
|      | US 2005-236043                         | A  | 20050927 |                 |          |
| OS   | CASREACT 144:331660; MARPAT 144:331660 |  |          |                 |          |

L1 ANSWER 7 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 144:51834 CA

TI Preparation of macrocyclic desmycocin amino glycosides useful as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic therapeutic agents

IN Chen, Yi; Farmer, Jay J.; Sutcliffe, Joyce A.; Bhattacharjee, Ashoke

PA Rib-X Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|----|---------------|--|----------|-----------------|----------|
| PI | WO 2005118610 | A2   | 20051215 | WO 2005-US18733 | 20050527 |
|    | WO 2005118610 | A3   | 20061019 |                 |          |
|    | W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
|    | RW:           | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
|    | WO 2005085266 | A2   | 20050915 | WO 2005-US6082  | 20050225 |
|    | WO 2005085266 | A3   | 20060105 |                 |          |
|    | W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW         |          |                 |          |
|    | RW:           | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |

PRAI US 2004-575949P P 20040601  
WO 2005-US6082 A 20050225  
US 2004-548280P P 20040227  
OS MARPAT 144:51834

L1 ANSWER 8 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 143:478161 CA  
TI Preparation of azithromycin and erythromycin macrolides substituted at the  
4'-position as antibacterial agents  
IN Alihodzic, Sulejman; Mutak, Stjepan; Palej, Ivana  
PA Pliva-Istrazivacki Institut D.O.O., Croatia  
SO PCT Int. Appl., 88 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|------|---|------|----------|------------------|----------|
| PI   | WO 2005108413   | A1   | 20051117 | WO 2005-IB1203   | 20050503 |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
|      | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
|      | CA 2566112  | A1   | 20051117 | CA 2005-2566112  | 20050503 |
|      | EP 1756134  | A1   | 20070228 | EP 2005-734891   | 20050503 |
|      | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU   |      |          |                  |          |
|      | CN 1980945  | A    | 20070613 | CN 2005-80023022 | 20050503 |
|      | IN 2006DN06104  | A    | 20070831 | IN 2006-DN6104   | 20061019 |
| PRAI | US 2004-569377P   | P    | 20040506 |                  |          |
|      | US 2004-582106P   | P    | 20040622 |                  |          |
|      | WO 2005-IB1203  | W    | 20050503 |                  |          |

OS MARPAT 143:478161

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 9 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 143:460388 CA  
TI Preparation of azithromycin and erythromycin macrolides substituted at the  
4'-position as antibacterial agents  
IN Alihodzic, Sulejman; Mutak, Stjepan; Pavlovic, Drazen; Palej, Ivana; Stimac, Vlado; Kapic, Samra; Zupan, Adriana; Matanovic, Maja  
PA Pliva-Istrazivacki Institut D.O.O., Croatia  
SO PCT Int. Appl., 156 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|----|--|------|----------|-----------------|----------|
| PI | WO 2005108412  | A1   | 20051117 | WO 2005-IB1186  | 20050502 |
|    | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, |      |          |                 |          |

NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,  
SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,  
ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
MR, NE, SN, TD, TG

AU 2005240849 A1 20051117 AU 2005-240849 20050502

CA 2566085 A1 20051117 CA 2005-2566085 20050502

EP 1756135 A1 20070228 EP 2005-739739 20050502

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,  
HR, LV, MK, YU

BR 2005010328 A 20071023 BR 2005-10328 20050502

CN 101068824 A 20071107 CN 2005-80022944 20050502

KR 2007031900 A 20070320 KR 2006-723254 20061106

NO 2006005662 A 20070116 NO 2006-5662 20061206

PRAI US 2004-569402P P 20040506

US 2004-581118P P 20040618

WO 2005-IB1186 W 20050502

OS MARPAT 143:460388

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 10 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 143:306499 CA

TI Preparation of macrocyclic azithromycin compounds as antibacterial,  
anti-proliferative, and antiinflammatory agents

IN Farmer, Jay J.; Bhattacharjee, Ashoke; Chen, Yi; Goldberg, Joel A.;  
Ippolito, Joseph A.; Kanyo, Zoltan F.; Lou, Rongliang; Oyelere, Adegboyega  
K.; Sherer, Edward C.; Sutcliffe, Joyce A.; Wang, Deping; Wu, Yusheng; Du,  
Yanming

PA Rib-X Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 333 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

|    | PATENT NO.    | KIND  | DATE     | APPLICATION NO.  | DATE     |
|----|---------------|---|----------|------------------|----------|
| PI | WO 2005085266 | A2  | 20050915 | WO 2005-US6082   | 20050225 |
|    | WO 2005085266 | A3  | 20060105 |                  |          |
|    | W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,<br>SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                  |          |
|    | RW:           | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,<br>RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,<br>MR, NE, SN, TD, TG  |          |                  |          |
|    | EP 1723159    | A2  | 20061122 | EP 2005-723790   | 20050225 |
|    | R:            | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,<br>IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR   |          |                  |          |
|    | CN 1950388    | A   | 20070418 | CN 2005-80013532 | 20050225 |
|    | JP 2007525520 | T   | 20070906 | JP 2007-501005   | 20050225 |
|    | WO 2005118610 | A2  | 20051215 | WO 2005-US18733  | 20050527 |
|    | WO 2005118610 | A3  | 20061019 |                  |          |
|    | W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,   |          |                  |          |



LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,  
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,  
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,  
ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
MR, NE, SN, TD, TG

IN 2006KN02592 A 20070601 IN 2006-KN2592 20060908  
PRAI US 2004-548280P P 20040227  
US 2004-575949P P 20040601  
WO 2005-US6082 W 20050225  
OS MARPAT 143:306499

L1 ANSWER 11 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 142:355522 CA  
TI Preparation of macrolide 9a,11-3C-bicyclic 9a-azalide erythromycin analogs  
as prodrug antibacterial agents  
IN Wang, Guoqiang; Peng, Yulin; Wang, Yanchun; Phan, Ly Tam; Or, Yat Sun  
PA Enanta Pharmaceuticals, Inc., USA  
SO PCT Int. Appl., 75 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|      | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|------|--|------|----------|-----------------|----------|
| PI   | WO 2005030227  | A1   | 20050407 | WO 2004-US30780 | 20040921 |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|      | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,<br>SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,<br>SN, TD, TG   |      |          |                 |          |
|      | US 2005090460  | A1   | 20050428 | US 2004-946339  | 20040921 |
|      | US 7276487   | B2   | 20071002 |                 |          |
| PRAI | US 2003-560735P  | P    | 20030923 |                 |          |

OS MARPAT 142:355522  
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 12 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 142:240672 CA  
TI Preparation of N-des-methyl-N-substituted-11-deoxy-erythromycin macrolides  
as antibacterial and pro-kinetic agents and can be used to treat disorders  
of gastric motility  
IN Carreras, Christopher; Liu, Yaoquan  
PA Kosan Biosciences, Inc., USA  
SO PCT Int. Appl., 26 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|----|--|------|----------|-----------------|----------|
| PI | WO 2005018576  | A2   | 20050303 | WO 2004-US27854 | 20040825 |
|    | WO 2005018576  | A3   | 20051215 |                 |          |
|    | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, |      |          |                 |          |

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

US 2005119195 A1 20050602 US 2004-926170 20040824  
 CA 2533583 A1 20050303 CA 2004-2533583 20040825  
 EP 1658301 A2 20060524 EP 2004-782351 20040825

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

JP 2007521320 T 20070802 JP 2006-524876 20040825

PRAI US 2003-498108P P 20030826  
 US 2004-920170 A 20040824  
 WO 2004-US27854 W 20040825

OS CASREACT 142:240672; MARPAT 142:240672

L1 ANSWER 13 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 142:38480 CA

TI Preparation of 11-12-bicyclic erythromycin macrolides as antibacterial  
 agents

IN Liu, Tongzhu; Phan, Ly Tam; Or, Yat Sun; Chen, Zhigang; Qiu, Yao-Ling

PA Enanta Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 6

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|----|--|------|----------|-----------------|----------|
| PI | WO 2004108745  | A2   | 20041216 | WO 2004-US13042 | 20040428 |
|    | WO 2004108745  | A3   | 20050224 |                 |          |
|    | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|    | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,<br>SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,<br>SN, TD, TG   |      |          |                 |          |

US 6765016 B1 20040720 US 2003-455648 20030605

US 6774115 B1 20040810 US 2003-454865 20030605

US 2004254126 A1 20041216 US 2004-806748 20040323

PRAI US 2003-454865 A 20030605

US 2003-455648 A 20030605

US 2004-455001 A 20040323

US 2004-806748 A 20040323

US 2003-455001 A2 20030605

US 2003-455219 A2 20030605

OS MARPAT 142:38480

L1 ANSWER 14 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 142:38478 CA

TI Preparation of 11-12-bicyclic erythromycin macrolides as antibacterial  
 agents

IN Qiu, Yao-Ling; Phan, Ly Tam; Chen, Zhigang; Liu, Tongzhu; Or, Yat Sun

PA USA

SO U.S. Pat. Appl. Publ., 52 pp., Cont.-in-part of U.S. Ser. No. 455,219.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 6

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | US 2004254126   | A1   | 20041216 | US 2004-806748  | 20040323 |
|      | US 6716820  | B1   | 20040406 | US 2003-455001  | 20030605 |
|      | US 6765016  | B1   | 20040720 | US 2003-455648  | 20030605 |
|      | US 6774115  | B1   | 20040810 | US 2003-454865  | 20030605 |
|      | US 6790835  | B1   | 20040914 | US 2003-455219  | 20030605 |
|      | WO 2004108745   | A2   | 20041216 | WO 2004-US13042 | 20040428 |
|      | WO 2004108745   | A3   | 20050224 |                 |          |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|      | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
|      | AU 2004245479   | A1   | 20041216 | AU 2004-245479  | 20040517 |
|      | CA 2531561  | A1   | 20041216 | CA 2004-2531561 | 20040517 |
|      | WO 2004108746   | A2   | 20041216 | WO 2004-US15491 | 20040517 |
|      | WO 2004108746   | A3   | 20050414 |                 |          |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|      | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
|      | EP 1646638  | A2   | 20060419 | EP 2004-785698  | 20040517 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK   |      |          |                 |          |
| PRAI | US 2003-454865  | A2   | 20030605 |                 |          |
|      | US 2003-455001  | A2   | 20030605 |                 |          |
|      | US 2003-455219  | A2   | 20030605 |                 |          |
|      | US 2003-455648  | A2   | 20030605 |                 |          |
|      | US 2004-455001  | A    | 20040323 |                 |          |
|      | US 2004-806748  | A    | 20040323 |                 |          |
|      | WO 2004-US15491   | W    | 20040517 |                 |          |
| OS   | MARPAT 142:38478  |      |          |                 |          |

L1 ANSWER 15 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 142:6762 CA  
TI Preparation of azithromycin macrolides substituted at the 4"-position as antibacterial agents  
IN Alihodzic, Sulejman; Berdik, Andrea; Jarvest, Richard Lewis; Lazarevski, Gorjana  
PA Glaxo Group Limited, UK; Pliva-Istrazivacki Institut D.O.O.  
SO PCT Int. Appl., 50 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|          | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|----------|---|------|----------|------------------|----------|
| PI       | WO 2004101590   | A1   | 20041125 | WO 2004-EP5086   | 20040511 |
|          | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
|          | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
|          | CA 2525459  | A1   | 20041125 | CA 2004-2525459  | 20040511 |
|          | EP 1633765  | A1   | 20060315 | EP 2004-732099   | 20040511 |
|          | EP 1633765  | B1   | 20071114 |                  |          |
|          | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR   |      |          |                  |          |
|          | CN 1820016  | A    | 20060816 | CN 2004-80019644 | 20040511 |
|          | JP 2006528668   | T    | 20061221 | JP 2006-529797   | 20040511 |
|          | IN 2005KN02188  | A    | 20060929 | IN 2005-KN2188   | 20051107 |
| PRAI     | GB 2003-10992   | A    | 20030513 |                  |          |
|          | WO 2004-EP5086  | W    | 20040511 |                  |          |
| OS       | MARPAT 142:6762   |      |          |                  |          |
| RE.CNT 2 | THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  |      |          |                  |          |
|          | ALL CITATIONS AVAILABLE IN THE RE FORMAT  |      |          |                  |          |

L1 ANSWER 16 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 142:6758 CA  
TI Preparation of azithromycin and erythromycin macrolides substituted at the 4"-position as antibacterial agents  
IN Alihodzic, Sulejman; Forrest, Andrew Keith; Jarvest, Richard Lewis; Lazarevski, Gorjana; Pavlovic, Drazen  
PA Glaxo Group Limited, UK; Pliva-Istrazivacki Institut D.O.O.  
SO PCT Int. Appl., 94 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|---|------|----------|------------------|----------|
| PI | WO 2004101586   | A1   | 20041125 | WO 2004-EP5082   | 20040511 |
|    | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
|    | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
|    | AU 2004238528   | A1   | 20041125 | AU 2004-238528   | 20040511 |
|    | CA 2525452  | A1   | 20041125 | CA 2004-2525452  | 20040511 |
|    | EP 1628988  | A1   | 20060301 | EP 2004-732102   | 20040511 |
|    | EP 1628988  | B1   | 20061004 |                  |          |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR   |      |          |                  |          |
|    | BR 2004010246   | A    | 20060516 | BR 2004-10246    | 20040511 |
|    | AT 341560   | T    | 20061015 | AT 2004-732102   | 20040511 |
|    | CN 1849328  | A    | 20061018 | CN 2004-80019264 | 20040511 |
|    | JP 2007502313   | T    | 20070208 | JP 2006-529794   | 20040511 |

|                    |    |          |                 |          |
|--------------------|----|----------|-----------------|----------|
| ES 2273255         | T3 | 20070501 | ES 2004-4732102 | 20040511 |
| IN 2005KN02197     | A  | 20060922 | IN 2005-KN2197  | 20051107 |
| MX 2005PA12163     | A  | 20060519 | MX 2005-PA12163 | 20051111 |
| US 2007185117      | A1 | 20070809 | US 2007-556645  | 20070315 |
| PRAI GB 2003-10986 | A  | 20030513 |                 |          |
| WO 2004-EP5082     | W  | 20040511 |                 |          |

OS MARPAT 142:6758

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 17 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 142:6757 CA  
TI Preparation of azithromycin and erythromycin macrolides substituted at the 4'-position as antibacterial agents  
IN Alihodzic, Sulejman; Berdik, Andrea; Berge, John Michael; Jarvest, Richard Lewis; Mutak, Stjepan  
PA Glaxo Group Limited, UK; Pliva-Istrazivacki Institut D.O.O.  
SO PCT Int. Appl., 109 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|------|---|------|----------|------------------|----------|
| PI   | WO 2004101585   | A1   | 20041125 | WO 2004-EP5081   | 20040511 |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
|      | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
|      | CA 2525449  | A1   | 20041125 | CA 2004-2525449  | 20040511 |
|      | EP 1625137  | A1   | 20060215 | EP 2004-732089   | 20040511 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR   |      |          |                  |          |
|      | CN 1820017  | A    | 20060816 | CN 2004-80019655 | 20040511 |
|      | JP 2006528947   | T    | 20061228 | JP 2006-529793   | 20040511 |
|      | IN 2005KN02194  | A    | 20060929 | IN 2005-KN2194   | 20051107 |
|      | US 2007213283   | A1   | 20070913 | US 2006-556381   | 20061213 |
| PRAI | GB 2003-10984   | A    | 20030513 |                  |          |
|      | WO 2004-EP5081  | W    | 20040511 |                  |          |

OS MARPAT 142:6757

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 18 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 142:6756 CA  
TI Preparation of macrolide glycosides substituted at the 3-position having antibacterial activity  
IN Jarvest, Richard Lewis  
PA Glaxo Group Limited, UK  
SO PCT Int. Appl., 55 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|    | PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---------------|------|----------|-----------------|----------|
| PI | WO 2004101584 | A1   | 20041125 | WO 2004-EP5080  | 20040511 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

PRAI GB 2003-10981 A 20030513

OS MARPAT 142:6756

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 19 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 141:411193 CA  
 TI Preparation of macrolide pyridyl substituted erythromycin ketolide analogs  
 as antibiotics  
 IN Burger, Matthew; Carroll, Georgia; Chu, Daniel; Lin, Xiaodong; Plattner,  
 Jacob; Rico, Alice  
 PA Chiron Corporation, USA  
 SO PCT Int. Appl., 358 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|------|--|------|----------|-----------------|----------|
| PI   | WO 2004096822  | A2   | 20041111 | WO 2004-US12645 | 20040423 |
|      | WO 2004096822  | A3   | 20041216 |                 |          |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,<br>BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,<br>ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,<br>SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,<br>TD, TG |      |          |                 |          |
|      | CA 2523134   | A1   | 20041111 | CA 2004-2523134 | 20040423 |
|      | US 2005009764  | A1   | 20050113 | US 2004-831749  | 20040423 |
|      | EP 1618119   | A2   | 20060125 | EP 2004-750576  | 20040423 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR   |      |          |                 |          |
|      | JP 2006524702  | T    | 20061102 | JP 2006-513275  | 20040423 |
| PRAI | US 2003-465294P  | P    | 20030425 |                 |          |
|      | WO 2004-US12645  | W    | 20040423 |                 |          |
| OS   | MARPAT 141:411193  |      |          |                 |          |

L1 ANSWER 20 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 141:406156 CA  
 TI Methods for reducing oxidative stress in a cell with a sulfhydryl  
 protected glutathione prodrug  
 IN Nagasawa, Herbert T.; Cohen, Jonathan F.  
 PA USA  
 SO U.S. Pat. Appl. Publ., 12 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|------|---|------|----------|------------------|----------|
| PI   | US 2004229815   | A1   | 20041118 | US 2003-750005   | 20031230 |
|      | AU 2004315267   | A1   | 20050818 | AU 2004-315267   | 20041227 |
|      | CA 2552285  | A1   | 20050818 | CA 2004-2552285  | 20041227 |
|      | WO 2005074903   | A2   | 20050818 | WO 2004-US43660  | 20041227 |
|      | WO 2005074903   | A3   | 20060223 |                  |          |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM |      |          |                  |          |
|      | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
|      | EP 1701732  | A2   | 20060920 | EP 2004-821314   | 20041227 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU   |      |          |                  |          |
|      | CN 1921876  | A    | 20070228 | CN 2004-80042221 | 20041227 |
|      | IN 2006MN00915  | A    | 20070330 | IN 2006-MN915    | 20060731 |
| PRAI | US 2003-437872P   | P    | 20030103 |                  |          |
|      | US 2003-750005  | A    | 20031230 |                  |          |
|      | WO 2004-US43660   | W    | 20041227 |                  |          |

L1 ANSWER 21 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 141:395758 CA  
TI Preparation of amino sugars for treatment of anthrax infection using inhibitors of lethal factor protease activity  
IN Goldman, Mark Evan; O'Malley, Sean; Simo, Ondrej; Nagata, Melissa; Jiao, Guan-Sheng; Hemscheidt, Klaus Thomas; Tang, Peng Cho; Cregar, Lynne  
PA Hawaii Biotech, Inc., USA  
SO PCT Int. Appl., 132 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | WO 2004093821   | A2   | 20041104 | WO 2004-US13737 | 20040422 |
|      | WO 2004093821   | A3   | 20051027 |                 |          |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|      | RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| PRAI | US 2003-464923P   | P    | 20030422 |                 |          |

L1 ANSWER 22 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 141:337861 CA  
TI Medical device with a therapeutic agent such as paclitaxel  
IN Paul, Ram H.; Sirota, Daniel J.; Amarant, Paul D.  
PA Cook Incorporated, USA  
SO U.S. Pat. Appl. Publ., 17 pp.  
CODEN: USXXCO

DT Patent  
LA English  
FAN.CNT 1

|      | PATENT NO.     | KIND | DATE     | APPLICATION NO. | DATE     |
|------|----------------|------|----------|-----------------|----------|
| PI   | US 2004210208  | A1   | 20041021 | US 2003-414939  | 20030416 |
| PRAI | US 2003-414939 |      | 20030416 |                 |          |

L1 ANSWER 23 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 141:243769 CA  
TI Preparation of antibiotic 6-O-substituted bicyclic erythromycin macrolides as antibacterial agents  
IN Qiu, Yao-ling; Phan, Ly Tam; Liu, Tongzhu; Chen, Zhigang; Or, Yat Sun  
PA Enanta Pharmaceuticals, Inc., USA  
SO U.S., 27 pp.  
CODEN: USXXAM

DT Patent  
LA English  
FAN.CNT 6

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | US 6790835  | B1   | 20040914 | US 2003-455219  | 20030605 |
|      | US 2004254126   | A1   | 20041216 | US 2004-806748  | 20040323 |
|      | AU 2004245479   | A1   | 20041216 | AU 2004-245479  | 20040517 |
|      | CA 2531561  | A1   | 20041216 | CA 2004-2531561 | 20040517 |
|      | WO 2004108746   | A2   | 20041216 | WO 2004-US15491 | 20040517 |
|      | WO 2004108746   | A3   | 20050414 |                 |          |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|      | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
|      | EP 1646638  | A2   | 20060419 | EP 2004-785698  | 20040517 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK   |      |          |                 |          |
| PRAI | US 2003-454865  | A2   | 20030605 |                 |          |
|      | US 2003-455001  | A2   | 20030605 |                 |          |
|      | US 2003-455219  | A2   | 20030605 |                 |          |
|      | US 2003-455648  | A2   | 20030605 |                 |          |
|      | US 2004-806748  | A    | 20040323 |                 |          |
|      | WO 2004-US15491   | W    | 20040517 |                 |          |

OS CASREACT 141:243769; MARPAT 141:243769

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 24 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 141:89322 CA  
TI Preparation of 6,11-4c-bicyclic 9a-azalide erythromycin derivatives as antibacterial agents  
IN Wang, Guoqiang; Or, Yat Sun; Phan, Ly Tam  
PA Enanta Pharmaceuticals, Inc., USA  
SO U.S., 35 pp.  
CODEN: USXXAM

DT Patent  
LA English  
FAN.CNT 10

|  | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------------|------|------|-----------------|------|
|--|------------|------|------|-----------------|------|



|    |               |    |          |                  |          |
|----|---------------|----|----------|------------------|----------|
| PI | US 6764998    | B1 | 20040720 | US 2003-464188   | 20030618 |
|    | CN 1910171    | A  | 20070207 | CN 2004-80040152 | 20040114 |
|    | US 2005009761 | A1 | 20050113 | US 2004-763377   | 20040123 |
|    | US 2005014707 | A1 | 20050120 | US 2004-840949   | 20040507 |
|    | WO 2005000863 | A2 | 20050106 | WO 2004-US15806  | 20040519 |
|    | WO 2005000863 | A3 | 20050310 |                  |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

|      |                |    |          |                |          |
|------|----------------|----|----------|----------------|----------|
|      | IN 2006DN03703 | A  | 20070713 | IN 2006-DN3703 | 20060628 |
| PRAI | US 2002-144396 | B2 | 20020513 |                |          |
|      | US 2002-144558 | B2 | 20020513 |                |          |
|      | US 2002-205018 | A2 | 20020725 |                |          |
|      | US 2002-205357 | A2 | 20020725 |                |          |
|      | US 2003-429485 | A2 | 20030505 |                |          |
|      | US 2003-436622 | A2 | 20030513 |                |          |
|      | US 2003-464188 | A2 | 20030618 |                |          |
|      | WO 2004-US998  | W  | 20040114 |                |          |

OS MARPAT 141:89322

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 25 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 141:54574 CA  
TI Preparation of aminodeoxy trisaccharides as prodrug  
antibacterial agents  
IN Cianci, Julia; Draffan, Alistair G.; Lambert, John N.; Nearn, Roland H.;  
Nguyen, Van T. T.  
PA Biota Scientific Management Pty. Ltd., Australia  
SO PCT Int. Appl., 83 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | WO 2004050677   | A1   | 20040617 | WO 2003-AU1588  | 20031128 |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|      | RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
|      | AU 2003283127   | A1   | 20040623 | AU 2003-283127  | 20031128 |
|      | US 2006128608   | A1   | 20060615 | US 2005-536504  | 20051219 |
| PRAI | AU 2002-953095  | A    | 20021129 |                 |          |
|      | WO 2003-AU1588  | W    | 20031128 |                 |          |

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 26 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 140:304027 CA

TI Preparation of macrolide anhydrolide erythromycin analogs as antibacterial agents  
 IN Vo, Nha Huu; Hou, Ying; Phan, Ly Tam; Or, Yat Sun  
 PA Enanta Pharmaceuticals, Inc., USA  
 SO U.S., 13 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|------|--|------|----------|-----------------|----------|
| PI   | US 6720308   | B1   | 20040413 | US 2002-289820  | 20021107 |
|      | WO 2004069854  | A1   | 20040819 | WO 2003-US35697 | 20031107 |
|      | W:   |      |          |                 |          |
|      | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|      | RW:  |      |          |                 |          |
|      | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |      |          |                 |          |
|      | AU 2003290684  | A1   | 20040830 | AU 2003-290684  | 20031107 |
|      | US 2004220120  | A1   | 20041104 | US 2004-812501  | 20040330 |
| PRAI | US 2002-289820   | A    | 20021107 |                 |          |
|      | WO 2003-US35697  | W    | 20031107 |                 |          |
| OS   | MARPAT 140:304027  |      |          |                 |          |

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 27 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 140:271146 CA  
 TI Preparation of antibiotic 6-O-substituted bicyclic erythromycin macrolides as antibacterial agents  
 IN Qiu, Yao-Ling; Phan, Ly Tam; Or, Yat Sun  
 PA Enanta Pharmaceuticals, Inc., USA  
 SO U.S., 21 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 6

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|----|--|------|----------|-----------------|----------|
| PI | US 6716820   | B1   | 20040406 | US 2003-455001  | 20030605 |
|    | US 2004254126  | A1   | 20041216 | US 2004-806748  | 20040323 |
|    | AU 2004245479  | A1   | 20041216 | AU 2004-245479  | 20040517 |
|    | CA 2531561   | A1   | 20041216 | CA 2004-2531561 | 20040517 |
|    | WO 2004108746  | A2   | 20041216 | WO 2004-US15491 | 20040517 |
|    | WO 2004108746  | A3   | 20050414 |                 |          |
|    | W:   |      |          |                 |          |
|    | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|    | RW:  |      |          |                 |          |
|    | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |      |          |                 |          |
|    | EP 1646638   | A2   | 20060419 | EP 2004-785698  | 20040517 |
|    | R:   |      |          |                 |          |
|    | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK   |      |          |                 |          |

PRAI US 2003-454865 A2 20030605  
 US 2003-455001 A2 20030605  
 US 2003-455219 A2 20030605  
 US 2003-455648 A2 20030605  
 US 2004-806748 A 20040323  
 WO 2004-US15491 W 20040517

OS CASREACT 140:271146; MARPAT 140:271146

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 28 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:236004 CA

TI Preparation of 6,11-bicyclic erythromycin macrolides as antibacterial agents

IN Or, Yat Sun; Wang, Guoqiang; Phan, Ly Tam; Niu, Deqiang; Qiu, Yao-Ling; Vo, Nha Huu; Farmer, Jay Judson; Hou, Ying

PA USA

SO U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 144,396, abandoned.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 10

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|------|---|------|----------|------------------|----------|
| PI   | US 2004053861   | A1   | 20040318 | US 2003-436622   | 20030513 |
|      | US 7129221  | B2   | 20061031 |                  |          |
|      | AU 2003229037   | A1   | 20031111 | AU 2003-229037   | 20030513 |
|      | AU 2003229037   | B2   | 20070118 |                  |          |
|      | CA 2483875  | A1   | 20031120 | CA 2003-2483875  | 20030513 |
|      | EP 1509538  | A1   | 20050302 | EP 2003-726818   | 20030513 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                  |          |
|      | CN 1659178  | A    | 20050824 | CN 2003-813623   | 20030513 |
|      | JP 2005536465   | T    | 20051202 | JP 2004-503480   | 20030513 |
|      | NZ 536402   | A    | 20060831 | NZ 2003-536402   | 20030513 |
|      | US 2004171818   | A1   | 20040902 | US 2004-758409   | 20040114 |
|      | US 7022679  | B2   | 20060404 |                  |          |
|      | WO 2005070918   | A1   | 20050804 | WO 2004-US998    | 20040114 |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
|      | RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
|      | CN 1910171  | A    | 20070207 | CN 2004-80040152 | 20040114 |
|      | US 2005009761   | A1   | 20050113 | US 2004-763377   | 20040123 |
|      | US 2006058248   | A1   | 20060316 | US 2005-257680   | 20051025 |
|      | US 7135573  | B2   | 20061114 |                  |          |
|      | IN 2006DN03703  | A    | 20070713 | IN 2006-DN3703   | 20060628 |
| PRAI | US 2002-144396  | B2   | 20020513 |                  |          |
|      | US 2002-144558  | B2   | 20020513 |                  |          |
|      | US 2002-205018  | A2   | 20020725 |                  |          |
|      | US 2002-205357  | A2   | 20020725 |                  |          |
|      | US 2003-429485  | A2   | 20030505 |                  |          |
|      | US 2003-436622  | A    | 20030513 |                  |          |
|      | WO 2003-US14914   | W    | 20030513 |                  |          |
|      | US 2003-464188  | A2   | 20030618 |                  |          |
|      | US 2004-758409  | A1   | 20040114 |                  |          |
|      | WO 2004-US998   | W    | 20040114 |                  |          |

OS CASREACT 140:236004; MARPAT 140:236004  
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 29 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 140:217956 CA  
TI Preparation of motilide erythromycin compounds used in treatment of  
diseases characterized by impaired gastric motility  
IN Santi, Daniel; Metcalf, Brian; Carreras, Christopher; Liu, Yaoquan;  
McDaniel, Robert; Rodriguez, Eduardo J.  
PA Kosan Biosciences, Inc., USA  
SO PCT Int. Appl., 47 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|      | PATENT NO.        | KIND   | DATE     | APPLICATION NO. | DATE     |
|------|-------------------|--|----------|-----------------|----------|
|      | -----             | ---  | -----    | -----           | -----    |
| PI   | WO 2004019879     | A2   | 20040311 | WO 2003-US26991 | 20030826 |
|      | WO 2004019879     | A3   | 20040603 |                 |          |
|      | WO 2004019879     | A8   | 20040729 |                 |          |
|      | W:                | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
|      | RW:               | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
|      | CA 2492846        | A1   | 20040311 | CA 2003-2492846 | 20030826 |
|      | AU 2003273254     | A1   | 20040319 | AU 2003-273254  | 20030826 |
|      | US 2004138150     | A1   | 20040715 | US 2003-648946  | 20030826 |
|      | US 6946482        | B2   | 20050920 |                 |          |
|      | EP 1532131        | A2   | 20050525 | EP 2003-755757  | 20030826 |
|      | R:                | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |          |
|      | CN 1665799        | A  | 20050907 | CN 2003-815053  | 20030826 |
|      | JP 2005537317     | T  | 20051208 | JP 2004-531645  | 20030826 |
|      | IN 2005KN00210    | A  | 20060609 | IN 2005-KN210   | 20050217 |
| PRAI | US 2002-407345P   | P  | 20020829 |                 |          |
|      | WO 2003-US26991   | W  | 20030826 |                 |          |
| OS   | MARPAT 140:217956 |  |          |                 |          |

L1 ANSWER 30 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 140:217887 CA  
TI Antibiotic optimization via in vitro glycorandomization  
AU Fu, Xun; Albermann, Christoph; Jiang, Jiqing; Liao, Jianchun; Zhang, Changsheng; Thorson, Jon S.  
CS School of Pharmacy, Laboratory for Biosynthetic Chemistry, University of Wisconsin-Madison, Madison, WI, 53705, USA  
SO Nature Biotechnology (2003), 21(12), 1467-1469  
CODEN: NABIF9; ISSN: 1087-0156  
PB Nature Publishing Group  
DT Journal  
LA English  
OS CASREACT 140:217887  
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 31 OF 55 CA COPYRIGHT 2007 ACS on STN  
AN 140:164138 CA  
TI Preparation of antibacterial erythromycin derivatives with improved

pharmacokinetic profiles

IN Clark, Richard F.; Djuric, Stevan; Ma, Zhenkun; Phan, Ly; Rupp, Michael  
 PA USA  
 SO U.S. Pat. Appl. Publ., 16 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|------|-------------------|------|----------|-----------------|----------|
| PI   | US 2004033970     | A1   | 20040219 | US 2003-422111  | 20030424 |
|      | US 2005267054     | A1   | 20051201 | US 2005-167493  | 20050627 |
| PRAI | US 2002-377001P   | P    | 20020430 |                 |          |
|      | US 2003-422111    | A1   | 20030424 |                 |          |
| OS   | MARPAT 140:164138 |      |          |                 |          |

L1 ANSWER 32 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 140:164136 CA  
 TI Preparation of tricyclic macrolide erythromycin derivatives as  
 antibacterial agents  
 IN Gu, Yu-Gui; Ma, Zhenkun; Yong, Hong  
 PA USA  
 SO U.S. Pat. Appl. Publ., 46 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.                             | KIND | DATE     | APPLICATION NO. | DATE     |
|------|--|------|----------|-----------------|----------|
| PI   | US 2004029818                          | A1   | 20040212 | US 2003-422401  | 20030424 |
|      | US 6992069                             | B2   | 20060131 |                 |          |
| PRAI | US 2002-377008P                        | P    | 20020430 |                 |          |
|      | US 2002-398723P                        | P    | 20020726 |                 |          |
| OS   | CASREACT 140:164136; MARPAT 140:164136 |      |          |                 |          |

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 33 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 140:146397 CA  
 TI Preparation of 6,11-4-carbon bridged macrolide ketolides erythromycin  
 analogs as antibacterial agents  
 IN Or, Yat Sun; Wang, Guogiang; Niu, Deqiang; Phan, Ly Tam  
 PA Enanta Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 80 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 10

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|---|------|----------|------------------|----------|
| PI | WO 2004011009   | A1   | 20040205 | WO 2003-US20860  | 20030701 |
|    | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
|    | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
|    | US 6753318  | B1   | 20040622 | US 2002-205357   | 20020725 |
|    | AU 2003247706   | A1   | 20040216 | AU 2003-247706   | 20030701 |
|    | CN 1910171  | A    | 20070207 | CN 2004-80040152 | 20040114 |

US 2005009763 A1 20050113 US 2004-841249 20040507  
 IN 2006DN03703 A 20070713 IN 2006-DN3703 20060628  
 PRAI US 2002-205357 A 20020725  
 WO 2003-US20860 W 20030701  
 WO 2004-US998 W 20040114  
 OS CASREACT 140:146397; MARPAT 140:146397  
 RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 34 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 140:146396 CA  
 TI Preparation of 6,11-4-carbon bridged macrolide ketolides erythromycin  
 analogs as antibacterial agents  
 IN Or, Yat Sun; Wang, Guoqiang; Niu, Deqiang; Phan, Ly Tam  
 PA Enanra Pharmaceuticals, Inc., USA  
 SO U.S. Pat. Appl. Publ., 41 pp.  
 CODEN: USXXCO

DT Patent  
 LA English

FAN.CNT 10

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|------|---|------|----------|------------------|----------|
| PI   | US 2004023895   | A1   | 20040205 | US 2002-205018   | 20020725 |
|      | US 6841664  | B2   | 20050111 |                  |          |
|      | WO 2004011477   | A2   | 20040205 | WO 2003-US20864  | 20030601 |
|      | WO 2004011477   | A3   | 20040318 |                  |          |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
|      | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
|      | AU 2003281694   | A1   | 20040216 | AU 2003-281694   | 20030601 |
|      | CN 1910171  | A    | 20070207 | CN 2004-80040152 | 20040114 |
|      | US 2005009761   | A1   | 20050113 | US 2004-763377   | 20040123 |
|      | US 2004266998   | A1   | 20041230 | US 2004-841206   | 20040507 |
|      | US 7049417  | B2   | 20060523 |                  |          |
|      | IN 2006DN03703  | A    | 20070713 | IN 2006-DN3703   | 20060628 |
| PRAI | US 2002-144396  | B2   | 20020513 |                  |          |
|      | US 2002-144558  | B2   | 20020513 |                  |          |
|      | US 2002-205018  | A    | 20020725 |                  |          |
|      | US 2002-205357  | A2   | 20020725 |                  |          |
|      | US 2003-429485  | A2   | 20030505 |                  |          |
|      | US 2003-436622  | A2   | 20030513 |                  |          |
|      | WO 2003-US20864   | W    | 20030601 |                  |          |
|      | US 2003-464188  | A2   | 20030618 |                  |          |
|      | WO 2004-US998   | W    | 20040114 |                  |          |

OS MARPAT 140:146396

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 35 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 140:111633 CA  
 TI Preparation of macrolide oxolide erythromycin derivatives as antibacterial  
 agents  
 IN Ma, Zhenkun; Djuric, Stevan; Florjancic, Alan S.; Yong, Hong  
 PA USA  
 SO U.S. Pat. Appl. Publ., 36 pp.  
 CODEN: USXXCO  
 DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|------|-------------------|------|----------|-----------------|----------|
|      | -----             | ---- | -----    | -----           | -----    |
| PI   | US 2004014688     | A1   | 20040122 | US 2003-420390  | 20030422 |
|      | US 6998390        | B2   | 20060214 |                 |          |
| PRAI | US 2002-375373P   | P    | 20020425 |                 |          |
| OS   | MARPAT 140:111633 |      |          |                 |          |

L1 ANSWER 36 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:94231 CA

TI Preparation of 11-deoxy-azalide erythromycin macrolide derivatives as prodrug antibacterial agents

IN Clark, Richard; Djuric, Stevan; Ma, Zhenkun; Wang, Sanyi

PA Abbott Laboratories, USA

SO U.S. Pat. Appl. Publ., 16 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------|------------------|------|----------|-----------------|----------|
|      | -----            | ---- | -----    | -----           | -----    |
| PI   | US 2004014953    | A1   | 20040122 | US 2003-421577  | 20030423 |
|      | US 6933283       | B2   | 20050823 |                 |          |
| PRAI | US 2002-375325P  | P    | 20020425 |                 |          |
| OS   | MARPAT 140:94231 |      |          |                 |          |

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 37 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:77362 CA

TI Preparation of macrolide erythromycin antibacterial compounds with activity against penicillin-resistant Streptococcus pneumoniae

IN Phelan, Kathleen; Djuric, Stevan; Ma, Zhenkun; Marron, Thomas; Yong, Hong; Zanze, Irini

PA USA

SO U.S. Pat. Appl. Publ., 12 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------|------------------|------|----------|-----------------|----------|
|      | -----            | ---- | -----    | -----           | -----    |
| PI   | US 2004009932    | A1   | 20040115 | US 2003-421460  | 20030423 |
| PRAI | US 2002-375652P  | P    | 20020426 |                 |          |
| OS   | MARPAT 140:77362 |      |          |                 |          |

L1 ANSWER 38 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:77361 CA

TI Preparation of macrolide erythromycin derivatives as antibacterial agents

IN Clark, Richard; Djuric, Stevan; Ma, Zhenkun; Wang, Sanyi

PA Abbott Laboratories, USA

SO U.S. Pat. Appl. Publ., 24 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------|------------------|------|----------|-----------------|----------|
|      | -----            | ---- | -----    | -----           | -----    |
| PI   | US 2004009931    | A1   | 20040115 | US 2003-361221  | 20030210 |
|      | US 6831068       | B2   | 20041214 |                 |          |
| PRAI | US 2002-356296P  | P    | 20020213 |                 |          |
| OS   | MARPAT 140:77361 |      |          |                 |          |

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 39 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 140:59899 CA  
 TI Preparation of antibiotic macrolide erythromycin 11-C-substituted  
 ketolides as antibacterial agents  
 IN Phan, Ly Tam; Farmer, Jay Judson; Or, Yat Sun  
 PA Enanta Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 49 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | WO 2004000864   | A2   | 20031231 | WO 2003-US20126 | 20030625 |
|      | WO 2004000864   | A3   | 20040226 |                 |          |
|      | W:  |      |          |                 |          |
|      | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, |      |          |                 |          |
|      | CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, |      |          |                 |          |
|      | GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, |      |          |                 |          |
|      | LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, |      |          |                 |          |
|      | PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, |      |          |                 |          |
|      | UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW                              |      |          |                 |          |
|      | RW:   |      |          |                 |          |
|      | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, |      |          |                 |          |
|      | KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, |      |          |                 |          |
|      | FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, |      |          |                 |          |
|      | BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
|      | US 2004002464   | A1   | 20040101 | US 2002-179590  | 20020625 |
|      | US 6750204  | B2   | 20040615 |                 |          |
|      | AU 2003243789   | A1   | 20040106 | AU 2003-243789  | 20030625 |
| PRAI | US 2002-179590  | A    | 20020625 |                 |          |
|      | WO 2003-US20126   | W    | 20030625 |                 |          |
| OS   | MARPAT 140:59899  |      |          |                 |          |

L1 ANSWER 40 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 140:16930 CA  
 TI Preparation of 3-descladinosyl-6-O-carbamoyl and 6-O-carbonoyl  
 erythromycin macrolides as antibacterial agents  
 IN Henninger, Todd C.; Macielag, Mark J.; Marinelli, Brett A.; Zhu, Bin  
 PA Janssen Pharmaceutica N.V., Belg.  
 SO PCT Int. Appl., 179 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | WO 2003102010   | A1   | 20031211 | WO 2003-US16617 | 20030528 |
|    | W:  |      |          |                 |          |
|    | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, |      |          |                 |          |
|    | CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, |      |          |                 |          |
|    | GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, |      |          |                 |          |
|    | LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, |      |          |                 |          |
|    | PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, |      |          |                 |          |
|    | TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW                      |      |          |                 |          |
|    | RW:   |      |          |                 |          |
|    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, |      |          |                 |          |
|    | KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, |      |          |                 |          |
|    | FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, |      |          |                 |          |
|    | BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
|    | CA 2487918  | A1   | 20031211 | CA 2003-2487918 | 20030528 |
|    | AU 2003234650   | A1   | 20031219 | AU 2003-234650  | 20030528 |
|    | US 2004018994   | A1   | 20040129 | US 2003-447058  | 20030528 |
|    | US 6825172  | B2   | 20041130 |                 |          |
|    | EP 1513856  | A1   | 20050316 | EP 2003-729151  | 20030528 |
|    | R:  |      |          |                 |          |
|    | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, |      |          |                 |          |



IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 CN 1671726 A 20050921 CN 2003-817759 20030528  
 JP 2005531603 T 20051020 JP 2004-509701 20030528  
 PRAI US 2002-384483P P 20020531  
 WO 2003-US16617 W 20030528  
 OS MARPAT 140:16930  
 RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 41 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 139:396138 CA  
 TI Preparation of 6,11-bicyclic erythromycin macrolides as antibacterial agents  
 IN Or, Yat Sun; Wang, Guoqiang; Phan, Ly Tam; Niu, Deqiang; Qui, Yao-Ling; Vo, Nha Huu; Farmer, Jay Judson; Hou, Ying  
 PA Enanta Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 99 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 10

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| WO 2003095466   | A1   | 20031120 | WO 2003-US14914  | 20030513 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW                             |      |          |                  |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
| AU 2003229037   | A1   | 20031111 | AU 2003-229037   | 20030513 |
| AU 2003229037   | B2   | 20070118 |                  |          |
| CA 2483875  | A1   | 20031120 | CA 2003-2483875  | 20030513 |
| EP 1509538  | A1   | 20050302 | EP 2003-726818   | 20030513 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                  |          |
| JP 2005536465   | T    | 20051202 | JP 2004-503480   | 20030513 |
| NZ 536402   | A    | 20060831 | NZ 2003-536402   | 20030513 |
| WO 2005070918   | A1   | 20050804 | WO 2004-US998    | 20040114 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |          |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
| CN 1910171  | A    | 20070207 | CN 2004-80040152 | 20040114 |
| IN 2006DN03703  | A    | 20070713 | IN 2006-DN3703   | 20060628 |
| PRAI US 2002-144396   | A    | 20020513 |                  |          |
| US 2003-436622  | A    | 20030513 |                  |          |
| WO 2003-US14914   | W    | 20030513 |                  |          |
| WO 2004-US998   | W    | 20040114 |                  |          |
| OS CASREACT 139:396138; MARPAT 139:396138   |      |          |                  |          |
| RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD   |      |          |                  |          |
| ALL CITATIONS AVAILABLE IN THE RE FORMAT  |      |          |                  |          |

L1 ANSWER 42 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:381701 CA  
 TI Preparation of antibacterial erythromycin derivatives with improved pharmacokinetic profiles  
 IN Clark, Richard F.; Djuric, Stevan M.; Ma, Zhenkun; Phan, Ly; Rupp, Michael J.  
 PA Abbott Laboratories, USA  
 SO PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | WO 2003093288   | A1   | 20031113 | WO 2003-US12970 | 20030425 |
|      | W: CA, JP, MX   |      |          |                 |          |
|      | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR    |      |          |                 |          |
|      | US 2003207820   | A1   | 20031106 | US 2002-136715  | 20020430 |
|      | CA 2484087  | A1   | 20031113 | CA 2003-2484087 | 20030425 |
|      | EP 1501845  | A1   | 20050202 | EP 2003-721887  | 20030425 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK |      |          |                 |          |
|      | JP 2005529143   | T    | 20050929 | JP 2004-501427  | 20030425 |
|      | MX 2004PA10802  | A    | 20050307 | MX 2004-PA10802 | 20041029 |
| PRAI | US 2002-136715  | A    | 20020430 |                 |          |
|      | US 2003-422384  | A    | 20030424 |                 |          |
|      | WO 2003-US12970   | W    | 20030425 |                 |          |

OS MARPAT 139:381701

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 43 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 139:365175 CA  
 TI Preparation of tricyclic macrolide erythromycin derivatives as antibacterial agents  
 IN Gu, Yugui; Ma, Zhenkun; Yong, Hong  
 PA Abbott Laboratories, USA  
 SO PCT Int. Appl., 141 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | WO 2003093289   | A1   | 20031113 | WO 2003-US12971 | 20030425 |
|      | W: CA, JP, MX   |      |          |                 |          |
|      | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR    |      |          |                 |          |
|      | CA 2484095  | A1   | 20031113 | CA 2003-2484095 | 20030425 |
|      | EP 1501846  | A1   | 20050202 | EP 2003-731049  | 20030425 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK |      |          |                 |          |
|      | JP 2005530758   | T    | 20051013 | JP 2004-501428  | 20030425 |
|      | MX 2004PA10818  | A    | 20050307 | MX 2004-PA10818 | 20041029 |
| PRAI | US 2002-136796  | A    | 20020430 |                 |          |
|      | US 2002-205708  | A    | 20020726 |                 |          |
|      | US 2003-422309  | A    | 20030424 |                 |          |
|      | WO 2003-US12971   | W    | 20030425 |                 |          |

OS CASREACT 139:365175; MARPAT 139:365175

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 44 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 139:365174 CA

TI Preparation of 6,11-3c-bicyclic 9a-azalide erythromycin derivatives as  
antibacterial agents  
IN Wang, Guoqiang; Or, Yat Sun; Phan, Ly Tam; Busuyek, Marina  
PA Enanta Pharmaceuticals, Inc., USA  
SO U.S., 29 pp.  
CODEN: USXXAM

DT Patent  
LA English

FAN.CNT 1

|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|----|---------------|--|----------|-----------------|----------|
| PI | US 6645941    | B1   | 20031111 | US 2003-397923  | 20030326 |
|    | WO 2004087728 | A2   | 20041014 | WO 2004-US8940  | 20040324 |
|    | WO 2004087728 | A3   | 20041216 |                 |          |
|    | W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
|    | RW:           | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |

PRAI US 2003-397923 A 20030326

OS CASREACT 139:365174; MARPAT 139:365174

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 45 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:365172 CA

TI Preparation of erythromycin 9-oxime macrolides as antibacterial agents

IN Searle, Xenia Beebe; Djuric, Stevan; Ma, Zhenkun; Yang, Fan

PA Abbott Laboratories, USA

SO PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

|      | PATENT NO.      | KIND   | DATE     | APPLICATION NO. | DATE     |
|------|-----------------|--|----------|-----------------|----------|
| PI   | WO 2003090761   | A1   | 20031106 | WO 2003-US12478 | 20030423 |
|      | W:              | CA, JP, MX   |          |                 |          |
|      | RW:             | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR                     |          |                 |          |
|      | CA 2483221      | A1   | 20031106 | CA 2003-2483221 | 20030423 |
|      | EP 1501519      | A1   | 20050202 | EP 2003-719894  | 20030423 |
|      | R:              | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK |          |                 |          |
|      | JP 2006513976   | T  | 20060427 | JP 2003-587394  | 20030423 |
|      | MX 2004PA10558  | A  | 20050930 | MX 2004-PA10558 | 20041025 |
| PRAI | US 2002-131851  | A  | 20020425 |                 |          |
|      | US 2003-420260  | A  | 20030422 |                 |          |
|      | US 2003-420391  | A  | 20030422 |                 |          |
|      | WO 2003-US12478 | W  | 20030423 |                 |          |

OS MARPAT 139:365172

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 46 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:365171 CA

TI Preparation of macrolide oxolide erythromycin derivatives as antibacterial  
agents

IN Ma, Zhenkun; Djuric, Stevan; Florjancic, Alan S.; Yong, Hong; Gu, Yugui  
 PA Abbott Laboratories, USA  
 SO PCT Int. Appl., 108 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | WO 2003090760   | A1   | 20031106 | WO 2003-US12461 | 20030423 |
|      | W: CA, JP, MX   |      |          |                 |          |
|      | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR                    |      |          |                 |          |
|      | CA 2483220  | A1   | 20031106 | CA 2003-2483220 | 20030423 |
|      | EP 1499326  | A1   | 20050126 | EP 2003-719889  | 20030423 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK |      |          |                 |          |
|      | JP 2005528409   | T    | 20050922 | JP 2003-587393  | 20030423 |
|      | EP 1579864  | A1   | 20050928 | EP 2005-104506  | 20030423 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK |      |          |                 |          |
|      | MX 2004PA10556  | A    | 20050217 | MX 2004-PA10556 | 20041025 |
| PRAI | US 2002-132121  | A    | 20020425 |                 |          |
|      | US 2003-420257  | A    | 20030422 |                 |          |
|      | EP 2003-719889  | A3   | 20030423 |                 |          |
|      | WO 2003-US12461   | W    | 20030423 |                 |          |

OS MARPAT 139:365171

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 47 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 139:365170 CA  
 TI Preparation of 11-deoxy-azalide erythromycin macrolide derivatives as prodrug antibacterial agents  
 IN Clark, Richard; Djuric, Stevan; Ma, Zhenkun; Wang, Sanyi  
 PA Abbott Laboratories, USA  
 SO PCT Int. Appl., 51 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | WO 2003090679   | A2   | 20031106 | WO 2003-US12590 | 20030424 |
|      | WO 2003090679   | A3   | 20040311 |                 |          |
|      | W: CA, JP, MX   |      |          |                 |          |
|      | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR                    |      |          |                 |          |
|      | CA 2483281  | A1   | 20031106 | CA 2003-2483281 | 20030424 |
|      | EP 1501847  | A2   | 20050202 | EP 2003-733878  | 20030424 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK |      |          |                 |          |
|      | JP 2005529884   | T    | 20051006 | JP 2003-587318  | 20030424 |
|      | MX 2004PA10557  | A    | 20050217 | MX 2004-PA10557 | 20041025 |
| PRAI | US 2002-132036  | A    | 20020425 |                 |          |
|      | US 2003-421091  | A    | 20030423 |                 |          |
|      | WO 2003-US12590   | W    | 20030424 |                 |          |

OS MARPAT 139:365170

L1 ANSWER 48 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 139:350908 CA  
 TI Preparation of antibacterial erythromycin derivatives with improved pharmacokinetic profiles  
 IN Clark, Richard F.; Djuric, Stevan; Ma, Zhenkun; Phan, Ly; Rupp, Michael

PA USA  
 SO U.S. Pat. Appl. Publ., 13 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 2

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | US 2003207820   | A1   | 20031106 | US 2002-136715  | 20020430 |
|      | CA 2484087  | A1   | 20031113 | CA 2003-2484087 | 20030425 |
|      | WO 2003093288   | A1   | 20031113 | WO 2003-US12970 | 20030425 |
|      | W: CA, JP, MX   |      |          |                 |          |
|      | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR    |      |          |                 |          |
|      | EP 1501845  | A1   | 20050202 | EP 2003-721887  | 20030425 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK |      |          |                 |          |
|      | JP 2005529143   | T    | 20050929 | JP 2004-501427  | 20030425 |
|      | MX 2004PA10802  | A    | 20050307 | MX 2004-PA10802 | 20041029 |
| PRAI | US 2002-136715  | A    | 20020430 |                 |          |
|      | US 2003-422384  | A    | 20030424 |                 |          |
|      | WO 2003-US12970   | W    | 20030425 |                 |          |
| OS   | MARPAT 139:350908   |      |          |                 |          |

L1 ANSWER 49 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 139:338165 CA  
 TI Preparation of macrolide substituted 5-O-mycaminosyltylonide derivatives as antibacterial agents  
 IN Phan, Ly Tam; Vo, Nha Huu; Or, Yat Sun; Qiu, Yao-Ling; Hou, Ying  
 PA Enanta Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 77 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|        | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|--------|---|------|----------|--|----------|
| PI     | WO 2003089447   | A1   | 20031030 | WO 2003-US12040  | 20030418 |
|        | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |  |          |
|        | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |  |          |
|        | US 2003203858   | A1   | 20031030 | US 2002-125840   | 20020419 |
|        | US 6710034  | B2   | 20040323 |  |          |
|        | AU 2003234131   | A1   | 20031103 | AU 2003-234131   | 20030418 |
|        | US 2004235760   | A1   | 20041125 | US 2004-796412   | 20040309 |
| PRAI   | US 2002-125840  | A    | 20020419 |  |          |
|        | WO 2003-US12040   | W    | 20030418 |  |          |
| OS     | CASREACT 139:338165; MARPAT 139:338165  |      |          |  |          |
| RE.CNT | 4   |      |          | THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD |          |
|        |   |      |          | ALL CITATIONS AVAILABLE IN THE RE FORMAT               |          |

L1 ANSWER 50 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 139:338164 CA  
 TI Preparation of macrolide 23-O-substituted 5-O-mycaminosyltylonide derivatives as antibacterial agents.  
 IN Phan, Ly Tam; Qiu, Yao-Ling; Or, Yat Sun; Vo, Nha Huu; Jian, Tianying; Hou, Ying; Busuyek, Marina

PA Enanta Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 96 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | WO 2003089446   | A2   | 20031030 | WO 2003-US12211 | 20030418 |
|      | WO 2003089446   | A3   | 20031218 |                 |          |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|      | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
|      | US 2003212010   | A1   | 20031113 | US 2002-126076  | 20020419 |
|      | US 6753415  | B2   | 20040622 |                 |          |
|      | AU 2003222659   | A1   | 20031103 | AU 2003-222659  | 20030418 |
|      | US 2005020823   | A1   | 20050127 | US 2004-840948  | 20040507 |
| PRAI | US 2002-126076  | A    | 20020419 |                 |          |
|      | WO 2003-US12211   | W    | 20030418 |                 |          |
| OS   | CASREACT 139:338164; MARPAT 139:338164  |      |          |                 |          |

L1 ANSWER 51 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 137:210903 CA  
 TI Use of 5-substituted nucleosides and/or prodrugs thereof in combination preparations for the resistance-free treatment of infectious diseases  
 IN Fahrig, Rudolf Hinrich Hermann; Sonntag, Denise  
 PA Resprotect G.m.b.H., Germany  
 SO PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA German  
 FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|------|---|------|----------|------------------|----------|
| PI   | WO 2002067951   | A2   | 20020906 | WO 2002-EP1890   | 20020222 |
|      | WO 2002067951   | A3   | 20030320 |                  |          |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |      |          |                  |          |
|      | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
|      | DE 10108851   | A1   | 20020912 | DE 2001-10108851 | 20010223 |
|      | AU 2002234644   | A1   | 20020912 | AU 2002-234644   | 20020222 |
|      | EP 1368040  | A2   | 20031210 | EP 2002-701291   | 20020222 |
|      | EP 1368040  | B1   | 20060705 |                  |          |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                  |          |
|      | JP 2004526713   | T    | 20040902 | JP 2002-567317   | 20020222 |
|      | AT 332141   | T    | 20060715 | AT 2002-701291   | 20020222 |
|      | US 2004127454   | A1   | 20040701 | US 2004-468017   | 20040204 |
|      | US 7122528  | B2   | 20061017 |                  |          |
| PRAI | DE 2001-10108851  | A    | 20010223 |                  |          |
|      | WO 2002-EP1890  | W    | 20020222 |                  |          |

L1 ANSWER 52 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 136:156403 CA  
 TI Methods for identifying therapeutic targets for treating infectious disease  
 IN Shepard, Michael H.; Lackey, David B.; Cathers, Brian E.; Sergeeva, Maria V.  
 PA Newbiotics, Inc., USA  
 SO PCT Int. Appl., 503 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|------|--|------|----------|-----------------|----------|
| PI   | WO 2002007780  | A2   | 20020131 | WO 2001-US23095 | 20010720 |
|      | WO 2002007780  | A3   | 20030220 |                 |          |
|      | W:   |      |          |                 |          |
|      | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |          |
|      | RW:  |      |          |                 |          |
|      | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |      |          |                 |          |
|      | AU 2001077093  | A5   | 20020205 | AU 2001-77093   | 20010720 |
|      | US 2003130179  | A1   | 20030710 | US 2001-910345  | 20010720 |
| PRAI | US 2000-219598P  | P    | 20000720 |                 |          |
|      | US 2000-244953P  | P    | 20001101 |                 |          |
|      | US 2001-276728P  | P    | 20010316 |                 |          |
|      | WO 2001-US23095  | W    | 20010720 |                 |          |

L1 ANSWER 53 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 135:195449 CA  
 TI Coumarin derivatives as P-glycoprotein inhibitors for enhancing the antimicrobial and antitumor activities of other antimicrobial and cytotoxic agents  
 IN Gumbleton, Mark; Abulrob, Abedel-nasser; Russell, Allan Denver; Simons, Claire  
 PA University College Cardiff Consultants Limited, UK  
 SO PCT Int. Appl., 52 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|------|--|------|----------|-----------------|----------|
| PI   | WO 2001060827  | A1   | 20010823 | WO 2001-GB689   | 20010219 |
|      | W:   |      |          |                 |          |
|      | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |          |
|      | RW:  |      |          |                 |          |
|      | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |      |          |                 |          |
| PRAI | GB 2000-3685   | A    | 20000217 |                 |          |

OS MARPAT 135:195449

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 54 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 133:115890 CA  
 TI Selection of prodrug activating enzyme coding genes using  
 bacteriophage library transformation of lysogenic bacteria  
 IN Searle, Peter F.  
 PA Cobra Therapeutics Limited, UK  
 SO PCT Int. Appl., 36 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO.            | DATE     |
|------|---|------|----------|----------------------------|----------|
| PI   | WO 2000043541   | A1   | 20000727 | WO 2000 <sup>2</sup> GB157 | 20000121 |
|      | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                            |          |
|      | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                            |          |
|      | CA 2358944  | A1   | 20000727 | CA 2000-2358944            | 20000121 |
|      | EP 1147218  | A1   | 20011024 | EP 2000-900727             | 20000121 |
|      | EP 1147218  | B1   | 20050316 |                            |          |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                            |          |
|      | AT 291099   | T    | 20050415 | AT 2000-900727             | 20000121 |
|      | US 2002123037   | A1   | 20020905 | US 2001-889761             | 20011106 |
| PRAI | GB 1999-1471  | A    | 19990122 |                            |          |
|      | US 1999-116924P   | P    | 19990122 |                            |          |
|      | WO 2000-GB157   | W    | 20000121 |                            |          |

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 55 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 125:104145 CA  
 TI New model of oropharyngeal and gastrointestinal colonization by Candida albicans in CD4+ T-cell-deficient mice for evaluation of antifungal agents  
 AU Flattery, Amy M.; Abruzzo, George K.; Gill, Charles J.; Smith, Jeffrey G.; Bartizal, Ken  
 CS Antibiotic Discovery and Development, Merck Research laboratories, Rahway, NJ, 07065-0900, USA  
 SO Antimicrobial Agents and Chemotherapy (1996), 40(7), 1604-1609  
 CODEN: AMACCQ; ISSN: 0066-4804  
 PB American Society for Microbiology  
 DT Journal  
 LA English

=> d 11 1-55 an ab

L1 ANSWER 1 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 147:462234 CA  
 AB The invention discloses methods using antimicrobial compds. for preventing or reducing the risk of infection due to surgical or invasive medical procedures.

L1 ANSWER 2 OF 55 CA COPYRIGHT 2007 ACS on STN  
 AN 147:53098 CA  
 AB Antibacterial 4,5-substituted aminoglycoside analogs I, wherein Q1 is azido, OH, protected OH, (un)substituted amino, or heterocyclic ring systems; Q2 is an (un)substituted amino group; Q3 and Q4 are independently OH, protected hydroxyl, or an (un)substituted alkyl group; Q5 is H, halo, cyano, azido, ether, (un)substituted amino, protected amino, or a



heterocyclic ring system; Z1 and Z2 are independently H, hydroxyl or a protected hydroxyl group; Z3 is an O-linked aminoglycosides with (un)protected amino or hydroxyl substituents are prepared as prophylactic or therapeutics against microbial infection. Thus, II was prepared in 80% yield and shown to prevent lethal bacterial infections in mice (0.5 mg/kg resulted in no dead mice at 10% mucin). Further, I can be successfully employed as therapeutic prodrugs in the treatment of bacterial infection from sources such as *S. pyogenes*, *E. coli*, *S. aureus*, *E. faecalis*, *K. pneumoniae* and *P. vulgaris*.

L1 ANSWER 3 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 144:488901 CA

AB Macrolone erythromycin ketolide derivs. I, wherein A is a bivalent radical CO, amino-alkylidene, alkylidene-amino, imine; A and R4 taken together with the intervening atoms form a cyclic group, sugar residue; R1 is a sugar residue; R2 is H, hydroxyl group; R3 is H, alkyl, alkenyl; R4 is OH, alkenyl-oxy; R5 is OH; R5 and R5 taken together with the intervening atoms form a cyclic group; R6 is H, F; were prepared and used in therapy as antibacterial agents. Thus, macrolide II was prepared and tested as antibacterial agent. The compds. in the above examples gave min. inhibitory concns. (MICs) less than 1 µg per mL against erythromycin-sensitive and erythromycin-resistant strains of *Streptococcus pneumoniae* and *Streptococcus pyogenes*.

L1 ANSWER 4 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 144:468396 CA

AB Macrolone erythromycin ketolide derivs. I, wherein A is a bivalent radical CO, amino-alkylidene, alkylidene-amino, imine; A and R4 taken together with the intervening atoms form a cyclic group, sugar residue; R1 is a sugar residue; R2 is H, hydroxyl group; R3 is H, alkyl, alkenyl; R4 is OH, alkenyl-oxy; R5 is OH; R5 and R5 taken together with the intervening atoms form a cyclic group; R6 is H, F; were prepared and used in therapy as antibacterial agents. Thus, macrolide II was prepared and tested as antibacterial agent. The compds. in the above examples gave min. inhibitory concns. (MICs) less than 1 µg per mL against erythromycin-sensitive and erythromycin-resistant strains of *Streptococcus pneumoniae* and *Streptococcus pyogenes*.

L1 ANSWER 5 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 144:450873 CA

AB Macrolone erythromycin ketolide derivs. I, wherein A is a bivalent radical CO, amino-alkylidene, alkylidene-amino, imine; A and R4 taken together with the intervening atoms form a cyclic group, sugar residue; R1 is a sugar residue; R2 is H, hydroxyl group; R3 is H, alkyl, alkenyl; R4 is OH, alkenyl-oxy; R5 is OH; R5 and R5 taken together with the intervening atoms form a cyclic group; R6 is H, F; were prepared and used in therapy as antibacterial agents. Thus, macrolide II was prepared and tested as antibacterial agent. The compds. in the above examples gave min. inhibitory concns. (MICs) less than 1 µg per mL against erythromycin-sensitive and erythromycin-resistant strains of *Streptococcus pneumoniae* and *Streptococcus pyogenes*.

L1 ANSWER 6 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 144:331660 CA

AB Macrolide bicyclic 9a-azalide erythromycin derivs. I and II, wherein V is substituted alkylidene, cyclo-alkylidene; G and W are independently H, alkyl, alkenyl, alkynyl, acyl, ester, amide; L is Et, CH(OH)Me, alkyl, alkenyl, alkynyl, D is amino-alkylidene, amino-acyl, imino, R is H, hydroxy protecting group; were prepared and tested as antibacterial agents. Thus, macrolide II (V = CH<sub>2</sub>CH:CHCH<sub>2</sub>, G = W = Y = Z = H, L = Et, R = Ac) was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated an MIC in the range from about 64 µg/mL to about 0.03 µg/mL.

L1 ANSWER 7 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 144:51834 CA

AB The present invention provides macrocyclic desmycocin amino glycosides I and II, wherein T is macrolide; R1 and R3 are independently H, alkyl, alkenyl, alkynyl, acyl ester, amide, thio-acyl, thio-ester, thio-amide; R2 and R4 are H, alkoxy; D is single bond, alkyl, alkenyl, alkynyl, acyl, ester, amide, imine, sulfonyl, amine, thio-acyl, thio-amide; E is aromatic heterocycle, carbocycle, CO, CO<sub>2</sub>, amide, imine; F is single bond, alkyl, alkenyl, alkynyl; G is aryl, heteroaryl, biaryl, bicyclic, tricyclic, aryl, were prepared as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic therapeutic agents. Thus, III was prepared and may be used as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic therapeutic agent (no data).

L1 ANSWER 8 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 143:478161 CA

AB The present invention relates to 14- or 15-membered macrolides substituted at the 3-position I, wherein A is bivalent radical selected from C(O), C(O)NH, NHC(O), N(R<sub>7</sub>)CH<sub>2</sub>, CH<sub>2</sub>N(R<sub>7</sub>), imine; R1 is ester; R2 is H, hydroxyl protecting group; R3 is H, alkyl, alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl; R4 is OH, alkenyl-oxy, alkoxy; R5 is OH; R4R5 together with the intervening carbon atoms form a heterocycle; R6 is H, F; R7 is H, alkyl; and pharmaceutically acceptable derivs. thereof, to processes for their preparation and their use in therapy or prophylaxis of systemic or topical microbial infections in a human or animal body. Thus, erythromycin II was prepared and tested in vitro as antibacterial agent. Title compds. were tested in vitro for their antibacterial activity and showed MICs less than 0.125 µg/mL against erythromycin-sensitive and erythromycin-resistant strains of Streptococcus pneumoniae and Streptococcus pyogenes.

L1 ANSWER 9 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 143:460388 CA

AB The present invention relates to 14- or 15-membered macrolides substituted at the 3-position I, wherein A is bivalent radical selected from C(O), C(O)NH, NHC(O), N(R<sub>7</sub>)CH<sub>2</sub>, CH<sub>2</sub>N(R<sub>7</sub>), imine; R1 is ester; R2 is H, hydroxyl protecting group; R3 is H, alkyl, alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl; R4 is OH, alkenyl-oxy, alkoxy; R5 is OH; R4R5 together with the intervening carbon atoms form a heterocycle; R6 is H, F; R7 is H, alkyl; and pharmaceutically acceptable derivs. thereof, to processes for their preparation and their use in therapy or prophylaxis of systemic or topical microbial infections in a human or animal body. Thus, erythromycin II was prepared and tested in vitro as antibacterial agent. Title compds. were tested in vitro for their antibacterial activity and showed MICs less than 0.25 µg/mL against erythromycin-sensitive and erythromycin-resistant strains of Streptococcus pneumoniae and Streptococcus pyogenes.

L1 ANSWER 10 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 143:306499 CA

AB The present invention provides macrocyclic azithromycin compds. I and II, wherein T is macrolide; R1 and R3 are independently H, alkyl, alkenyl, alkynyl, acyl ester, amide, thio-acyl, thio-ester, amine; R2 is H, alkoxy; D is single bond, alkyl, alkenyl, alkynyl, acyl, ester, amide, imine, sulfonyl, amine, thio-acyl, thio-amide; E is aromatic heterocycle, carbocycle, CO, CO, amide, imine; F is single bond, alkyl, alkenyl, alkynyl; G is aryl, heteroaryl, biaryl, bicyclic, tricyclic, aryl, were prepared as antibacterial, anti-proliferative, prokinetic, and anti-inflammatory agents. Thus, III was prepared and used as antibacterial, anti-proliferative, and anti-inflammatory agent.

L1 ANSWER 11 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 142:355522 CA

AB Macrolide 9a, 11-3C-bicyclic 9a-azalide erythromycin analogs I, wherein A

is ORp, where Rp is a hydroxy protecting group, R1, where R1 is independently aryl, heteroaryl, OR1, R3, where R3 is H, alkyl, heteroalkyl, alkenyl, , hetero-alkenyl, alkynyl, hetero-alkynyl, OR3sulfonyl, amide, sulfonamide, amine; B is deuterium, OH, R1, R3, ORp, halogen; A and B together with the carbon atom to which they are attached are CO, acyl, ester, oxime, imine; G is H, alkyl, alkenyl, alkynyl,; L is CH(OH)CH3, alkyl, alkenyl, alkynyl; W is H, alkyl, alkenyl, alkynyl; X is H; Y is H, OH, ORp, alkoxy, ester, sulfonyl, sugar residue; Z is H, Me, halogen; R2 is H, Rp, or pharmaceutically acceptable salts, esters, or prodrugs thereof, which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Thus, I (A and B are taken together with the carbon atom to which they are attached to form C=CH2, L is Et, W = G = Z = R2 = H, X and Y taken together are oxo) was prepared and tested as antibacterial agent.

L1 ANSWER 12 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 142:240672 CA

AB N-Des-methyl-N-substituted-11-deoxy-erythromycins I: wherein R1, R4, and R6 are independently H, Me; R2 is alkyl, alkenyl, alkynyl; R3 and R5 are independently H, OH, were prepared as pro-kinetic agents and can be used to treat disorders of gastric motility. Thus, N-des-methyl-N-isopropyl-1-deoxy-erythromycin B was prepared as pro-kinetic agent and can be used to treat disorders of gastric motility. Compds. of this invention were tested for in vitro activity against three erythromycin sensitive strains of Streptococcus pneumoniae (ATCC 6301, ATCC 700671, and ATCC 49619). N-des-methyl-N-isopropyl-1-deoxy-erythromycin B showed Motilin Agonist activity (EC50 700 nM).

L1 ANSWER 13 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 142:38480 CA

AB 11-12 Bicyclic erythromycin macrolides I, wherein A and B are halogen, NO2, CN, R1, OR1, S(O)nR1, NR1C(O)R2, NR1C(O)NR3R4, NHS(O)nR1, C(O)NR3R4, OC(O)NR3R4 and NR3R4; each R1 and R2 is H, D, acyl, silane, aliphatic, alicyclic, aromatic, heteroarom., heterocyclic; each of R3 and R4 is H, acyl, aliphatic, alicyclic, aromatic, heteroarom., heterocyclic; R3R4 together with the nitrogen atom to which they are attached form heterocyclic or heteroarom. ring; AB, taken together with the carbon atom to which they are attached form alicyclic, aromatic, heterocyclic or heteroarom. ring, CO, C:CR1R2, C:NR1, C:NOR1, C:NO(CH2)mR1, C:NNHR1, C:NNHCOR1, C:NNHCONR3R4, C:NNHS(O)nR1, C:N-N:CR1R2; L is H, aliphatic, alicyclic, aromatic, heteroarom.,

or heterocyclic; G is H, CN or OR1; one of U or V are independently H, R1, OR1, OC(O)R1, OC(O)NR3R4, S(O)nR1, sugar; UV taken together with the carbon atom to which they are attached, are CO; R5 and R6 is H or Me, and the other is independently halogen, deuterium, or R1; Q is NR3R4; one of X and Y is H, aliphatic, and the other is OH, SH, NH2, or NHR1; or X and Y, taken together with the carbon atom to which they are attached, are C:O, C:C(R1)2, C:NR1, C:NOR1, C:NO(CH2)mR1, C:NNHR1, C:NNHCOR1, C:NNHCONR3R3, C:NNHS(O)nR1, or C:N-N:C(R1)2; R2' is H or a OH protecting; X1 is H or halogen; m is an integer; and n is 0-2, were prepared as antibacterial agents. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The compds. of the invention generally demonstrated in vitro an MIC in the range from about 64 µg/mL to about 0.03 µg/mL. The pharmaceutical compns. of this invention can be administered orally to fish by blending said pharmaceutical compns. into fish feed or said pharmaceutical compns.

may be dissolved in water in which infected fish are placed, a method commonly referred to as a medicated bath. Generally, a dosage of 5 - 1000 mg, preferably 20 - 100 mg, per kg of body weight of fish may be administered per day, either at one time or divided into several times.

L1 ANSWER 14 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 142:38478 CA

AB 11-12 Bicyclic erythromycin macrolides I, wherein A and B are halogen, NO<sub>2</sub>, CN, R<sub>1</sub>, OR<sub>1</sub>, S(O)nR<sub>1</sub>, NR<sub>1</sub>C(O)R<sub>2</sub>, NR<sub>1</sub>C(O)NR<sub>3</sub>R<sub>4</sub>, NHS(O)nR<sub>1</sub>, C(O)NR<sub>3</sub>R<sub>4</sub>, OC(O)NR<sub>3</sub>R<sub>4</sub> and NR<sub>3</sub>R<sub>4</sub>; each R<sub>1</sub> and R<sub>2</sub> is H, D, acyl, silane, aliphatic, alicyclic, aromatic, heteroarom., heterocyclic; each of R<sub>3</sub> and R<sub>4</sub> is H, acyl, aliphatic, alicyclic, aromatic, heteroarom., heterocyclic; R<sub>3</sub>R<sub>4</sub> together with the nitrogen atom to which they are attached form heterocyclic or heteroarom. ring; AB, taken together with the carbon atom to which they are attached form alicyclic, aromatic, heterocyclic or heteroarom. ring, CO, C:CR<sub>1</sub>R<sub>2</sub>, C:NR<sub>1</sub>, C:NOR<sub>1</sub>, C:NO(CH<sub>2</sub>)mR<sub>1</sub>, C:NNHR<sub>1</sub>, C:NNHCOR<sub>1</sub>, C:NNHCONR<sub>3</sub>R<sub>4</sub>, C:NNHS(O)nR<sub>1</sub>, C:N-N:CR<sub>1</sub>R<sub>2</sub>; L is H, aliphatic, alicyclic, aromatic, heteroarom.,

or heterocyclic; G is H, CN or OR<sub>1</sub>; one of U or V are independently H, R<sub>1</sub>, OR<sub>1</sub>, OC(O)R<sub>1</sub>, OC(O)NR<sub>3</sub>R<sub>4</sub>, S(O)nR<sub>1</sub>, sugar; UV taken together with the carbon atom to which they are attached, are CO; R<sub>5</sub> and R<sub>6</sub> is H or Me, and the other is independently halogen, deuterium, or R<sub>1</sub>; Q is NR<sub>3</sub>R<sub>4</sub>; one of X and Y is H, aliphatic, and the other is OH, SH, NH<sub>2</sub>, or NHR<sub>1</sub>; or X and Y, taken together with the carbon atom to which they are attached, are C:O, C:C(R<sub>1</sub>)<sub>2</sub>, C:NR<sub>1</sub>, C:NOR<sub>1</sub>, C:NO(CH<sub>2</sub>)mR<sub>1</sub>, C:NNHR<sub>1</sub>, C:NNHCOR<sub>1</sub>, C:NNHCONR<sub>3</sub>R<sub>4</sub>, C:NNHS(O)nR<sub>1</sub>, or C:N-N:C(R<sub>1</sub>)<sub>2</sub>; R<sub>2</sub>' is H or a OH protecting; X<sub>1</sub> is H or halogen; m is an integer; and n is 0-2, were prepared as antibacterial agents (no data). The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment (no data). The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention (no data).

L1 ANSWER 15 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 142:6762 CA

AB The present invention relates to 14- or 15-membered macrolides substituted at the 3-position I, wherein A is bivalent radical selected from -C(O)-, -C(O)NH-, -NHC(O)-, -N(R<sub>7</sub>)CH<sub>2</sub>-, -CH<sub>2</sub>N(R<sub>7</sub>)-, imine; R<sub>1</sub> is ester; R<sub>2</sub> is H, hydroxyl protecting group; R<sub>3</sub> is H, alkyl, alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl; R<sub>4</sub> is OH, alkenyl-oxy, alkoxy; R<sub>5</sub> is OH; R<sub>4</sub>R<sub>5</sub> together with the intervening carbon atoms form a heterocycle; R<sub>6</sub> is H, F; R<sub>7</sub> is H, alkyl; and pharmaceutically acceptable derivs. thereof, to processes for their preparation and their use in therapy or prophylaxis of systemic or topical microbial infections in a human or animal body. Thus, azithromycin II was prepared and tested in vitro as antibacterial agent. Title compds. were tested in vitro for their antibacterial activity and showed MICs less than 1 µg/mL against erythromycin-sensitive and erythromycin-resistant strains of Streptococcus pneumoniae and Streptococcus pyogenes.

L1 ANSWER 16 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 142:6758 CA

AB The present invention relates to 14- or 15-membered macrolides substituted at the 3-position I, wherein A is bivalent radical selected from C(O), C(O)NH, NHC(O), N(R<sub>7</sub>)CH<sub>2</sub>, CH<sub>2</sub>N(R<sub>7</sub>), imine; R<sub>1</sub> is ester; R<sub>2</sub> is H, hydroxyl protecting group; R<sub>3</sub> is H, alkyl, alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl; R<sub>4</sub> is OH, alkenyl-oxy, alkoxy; R<sub>5</sub> is OH; R<sub>4</sub>R<sub>5</sub> together with the intervening carbon atoms form a heterocycle; R<sub>6</sub> is H, F; R<sub>7</sub> is H, alkyl; and pharmaceutically acceptable derivs. thereof, to processes for their preparation and their use in therapy or prophylaxis of systemic or topical microbial infections in a human or animal body. Thus, erythromycin II was prepared and tested in vitro as antibacterial agent. Title compds. were tested in vitro for their

antibacterial activity and showed MICs less than 1 µg/mL against erythromycin-sensitive and erythromycin-resistant strains of *Streptococcus pneumoniae* and *Streptococcus pyogenes*.

L1 ANSWER 17 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 142:6757 CA

AB The present invention relates to 14- or 15-membered macrolides substituted at the 3-position I, wherein A is bivalent radical selected from C(O), C(O)NH, NHC(O), N(R7)CH2, CH2N(R7), imine; R1 is ester; R2 is H, hydroxyl protecting group; R3 is H, alkyl, alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl; R4 is OH, alkenyl-oxy, alkoxy; R5 is OH; R4R5 together with the intervening carbon atoms form a heterocycle; R6 is H, F; R7 is H, alkyl; and pharmaceutically acceptable derivs. thereof, to processes for their preparation and their use in therapy or prophylaxis of systemic or topical microbial infections in a human or animal body. Thus, erythromycin II was prepared and tested in vitro as antibacterial agent. Title compds. were tested in vitro for their antibacterial activity and showed MICs less than 1 µg/mL against erythromycin-sensitive and erythromycin-resistant strains of *Streptococcus pneumoniae* and *Streptococcus pyogenes*.

L1 ANSWER 18 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 142:6756 CA

AB The present invention relates to 14- or 15-membered macrolides substituted at the 3-position I, wherein A is bivalent radical selected from C(O), C(O)NH, NHC(O), N(R7)CH2, CH2N(R7), imine; R1 is ester; R2 is H, hydroxyl protecting group; R3 is H, alkyl, alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl; R4 is OH, alkenyl-oxy, alkoxy; R5 is OH; R4R5 together with the intervening carbon atoms form a heterocycle; R6 is H, F; R7 is H, alkyl; and pharmaceutically acceptable derivs. thereof, to processes for their preparation and their use in therapy or prophylaxis of systemic or topical microbial infections in a human or animal body. For oral and parenteral administration to humans, the daily dosage level of the agent may be in single or divided doses. For systemic administration the daily dose as employed for adult human treatment it will range from 2-100 mg/kg body weight, preferably 5-60 mg/kg body weight, which may be administered in 1 to 4 daily doses, for example, depending on the route of administration and the condition of the patient. When the composition comprises dosage units, each unit will preferably contain 200 mg to 1 g of active ingredient. The duration of treatment will be dictated by the rate of response rather than by arbitrary nos. of days. Thus, title macrolide II was prepared and was tested as antibacterial agent. Title compds. have an MIC < 1 µg/mL against *S. aureus* Smith ATCC 13709, *S. pneumoniae*, *S. pyogenes* 3565 and *E. faecalis* ATCC 29212; MIC < 2 µg/mL against *H. influenzae* ATCC 49247 and *M. catarrhalis* ATCC 23246; and MIC < 1 µg/mL against erythromycin resistant strains of *Streptococcus pneumoniae* and *Streptococcus pyogenes*.

L1 ANSWER 19 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 141:411193 CA

AB Antimicrobial macrolide and ketolide I, were prepared wherein R is H, substituted alkyl, alkenyl, amide; R1 is H, substituted alkyl, alkenyl, alkynyl, amide, ester, thioester; R2 is H, halogen, alkyl; R3 and R4 are independently H, halogen, substituted alkyl, with the proviso that when q is 0, then R3 and R4 are not both hydrogen; with the proviso that when R1 is Et, and R3 and R4 are hydrogen, then R5 is not 6-fluoro; and with the proviso that when R1 is -CH=CH-, and R3 and R4 are hydrogen, then R5 is not 6-Me; R5 is acyl, OH, halogen, NO2, CN, alkyl, cycloalkyl, alkenyl, alkynyl, ether, amine, heteroaryl, aryl; q is 0-4, as well as pharmaceutically acceptable salts, esters or prodrugs thereof; pharmaceutical compns. comprising such compds.; methods of treating prophylaxis bacterial infections by the administration of such compds.; and processes for the preparation of the compds. Thus, macrolide II was prepared

and tested in rats as antibacterial agent. The total daily dose of the compds. of this invention administered to a human or other mammal in single or in divided doses can be in amts., for example, from 0.01 to 50 mg/kg body weight or more usually from 0.1 to 25 mg/kg body weight

L1 ANSWER 20 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 141:406156 CA

AB The invention relates to compns. and methods for reducing oxidative stress in a cell. The invention is comprised of contacting a cell with a sulfhydryl protected glutathione or cysteine prodrug thereby increasing intracellular glutathione or L-cysteine levels resulting in reduced hepatotoxicity.

L1 ANSWER 21 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 141:395758 CA

AB Compds. containing spaced N and/or O, by virtue of their ability to inhibit the protease activity of lethal factor from Bacillus anthracis, are useful in the prevention and treatment of anthrax toxicity. Libraries of these compds. are also useful as substrates for screening methods to identify lethal factor inhibitors. Thus, aminodeoxy pseudo-disaccharide I was prepared for treatment of anthrax infection using inhibitors of lethal factor protease activity.

L1 ANSWER 22 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 141:337861 CA

AB A medical device is adapted for at least partial implantation into a body and includes first and second sections along the length of the device. A first therapeutic agent is associated with the first section and a second therapeutic agent is associated with the second section. The first therapeutic agent can be one or more antiproliferative, such as paclitaxel, a paclitaxel derivative, or a paclitaxel prodrug, anticoagulant, antithrombotic, thrombolytic, fibrinolytic, or combination thereof. The second therapeutic agent can be one or more antimicrobials, such as one or more antibiotics. Each of the first and second therapeutic agents can either be posited on one or more surfaces of the resp. section, or impregnated within the section. The device can include a separator to space the first and second sections. A method of making a medical device and a method of establishing access to a vessel within a body are also provided. For example, silicone tubing segments (approx. 0.8 mm i.d., 1.7 mm O.D., 50 mm length, 120 mg weight) cut from silicone catheter samples (5FR single lumen) were swelled by soaking for approx. 20 h in either Freon or hexane. The samples were then loaded with paclitaxel by soaking for approx. 7 h in one of the following solns. containing 4 mg/mL paclitaxel: 100% ethanol, 50/50% Freon/ethanol, and 50/50% hexane/ethanol. After loading, the tubing segments were allowed to dry for approx. 24 h. The amount of paclitaxel loaded into each segment was determined by extracting the tubing in ethanol for approx. 12 h, and assaying the extract by HPLC. On average the tubing segments yielded approx. 61±19 µg paclitaxel. For comparison, 3.0 mm x 15 mm long VFlexPlus coronary stents, which appeared effective in inhibiting restenosis in clin. trial studies, were loaded with approx. 60 µg paclitaxel.

L1 ANSWER 23 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 141:243769 CA

AB Antibiotic 6-O-substituted bicyclic erythromycin macrolides I were prepared, wherein A is OH, alkoxy, R1; R1 is aryl, heteroaryl, OR1, R2; R2 is H, halogen, alkyl, alkenyl, alkynyl,; OR2, sulfonyl, ester, acyl, amide, sulfonamide, amine; B is H, deuterium, CN, NO2, halogen, OH, R1, R2, alkoxy; A and B together with the carbon atom to which they are attached form CO, C(OR2)2, C(SR2)2, ketal, thioketal, alkylidene, imine; X and Y are independently H, deuterium, OH, alkoxy, amine, alkyl; X and Y together with the C atom to which they are attached form CO, imine, oxime; L is CH(OH)Me, alkyl, alkenyl, alkynyl; W is H, OH, CN, alkoxy, oxy-amide; Z is H, OH, alkoxy, ester, sulfonyl, sugar residue, or pharmaceutically

acceptable salts, esters, or prodrugs thereof: which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Thus, I wherein A and B taken together with the carbon atom to which they are attached are  $C=CHS(CH_2)_2Ph$ , L is Et, W is OMe, X and Y taken together with the carbon atom to which they are attached are  $C(O)$ , Z is OH, and  $R_2'$  is H; was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated an MIC in the range from about 64 g/mL to about 0.03 g/mL.

L1 ANSWER 24 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 141:89322 CA

AB 6,11-3C-bicyclic 9a-azalide erythromycin derivs. I were prepared, wherein W is  $-CH_2-C(A)=C(B)-CH_2-$ ,  $-CH_2-C(A)-C(B)-CH_2-$ ; heterocycle-containing alkylidene, A is OH, alkoxy, aryl, heteroaryl, H, halogen, alkyl, alkynyl, alkenyl, sulfonyl, amide, amine, sulfonamide; B is H, deuterium, halogen, OH, aryl, heteroaryl, CO, ester, thioester, oxime, imine; L is Me, Et,  $CH(OH)Me$ , alkyl, alkynyl, alkenyl; D is substituted amine; X is H; Y is H, OH, alkoxy, ester, amide, sulfonyl; X and Y together are oxo; Z is H, Me, halogen;  $R_2$  is H, hydroxy protecting group, which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. Thus, I (W is  $-CH_2CH=CHCH_2$ , D is  $-N(Q)CH_2$ , Q is  $CH_2C.tp1bond.C(3-quinolyl)$ , Z is H, X and Y taken together are oxo, L is Et,  $R_2$  is H) was prepared and tested in vitro as antibacterial agent (MIC = 64  $\mu g/mL$  to 0.03  $\mu g/mL$ ). The total daily dose of the compds. of this invention administered to a human or other animal in single or in divided doses can be in amts., for example, from 0.01 to 50 mg/kg body weight or more usually from 0.1 to 25 mg/kg body weight. The compds. of the invention generally demonstrated an MIC in the range from about 64  $\mu g/mL$  to about 0.03  $\mu g/mL$ .

L1 ANSWER 25 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 141:54574 CA

AB The present invention relates to prodrugs aminodeoxy oligosaccharides  $X-(L-Y)_n$ ,  $X-(L-Y-L)_n$ , and  $X-L-L-X_{lin}$  which X and  $X_1$  are the same or different and are pharmaceutically active moieties; L is a linker group; Y is a pharmacokinetic regulator; of pharmaceutical moieties, more specifically antimicrobial agents, methods for their preparation, pharmaceutical formulations containing them and their use in the treatment of microbial infections. Thus, trisaccharide I was prepared and tested in vitro as antibacterial agent against E. coli and P. aeruginosa (MIC values range from 2 to  $>64 \mu M$ ). The antimicrobial or antiinfective agent is an antifungal agent, antiparasitic agent, antimycotic agent or antiviral agent (no data). The viral infection is an influenza A or B infection, parainfluenza, mumps or Newcastle disease.

L1 ANSWER 26 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:304027 CA

AB Macrolide anhydrolide erythromycin analogs I, wherein L is  $CH(OH)Me$ , substituted alkyl, alkenyl, alkynyl;  $R_1$  and  $R_2$  are independently substituted alkyl, alkenyl, alkynyl; X is O, substituted imine,  $S(O)_n$ , where n is 1-2; and pharmaceutically-acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically-acceptable carrier are described. Also described are a method for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically effective amount of



a compound of the invention and processes for the preparation of such compds. Thus, I (L = Et, X = S, R = H, R1 = Me, R2 = 2-[6-(dimethylamino-methyleneamino)purin-9-yl]-Et) was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated an MIC in the range from about 64 µg/mL to about 0.03 µg/mL.

L1 ANSWER 27 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:271146 CA

AB Antibiotic 6-O-substituted bicyclic erythromycin macrolides I were prepared, wherein A is OH, alkoxy, R1; R1 is aryl, heteroaryl, OR1, R2; R2 is H, halogen, alkyl, alkenyl, alkynyl,; OR2, sulfonyl, ester, acyl, amide, sulfonamide, amine; B is H, deuterium, CN, NO2, halogen, OH, R1, R2, alkoxy; A and B together with the carbon atom to which they are attached form CO, C(OR2)2, C(SR2)2, ketal, thioketal, alkylidene, imine; X and Y are independently H, deuterium, OH, alkoxy, amine, alkyl; X and Y together with the C atom to which they are attached form CO, imine, oxime; L is CH(OH)Me, alkyl, alkenyl, alkynyl; W is alkyl, alkenyl, alkynyl, Z is H, OH, alkoxy, ester, sulfonyl, sugar residue, or pharmaceutically acceptable salts, esters, or prodrugs thereof: which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Thus, I wherein A and B taken together with the carbon atom to which they are attached are C=CH2, L is CH2CH3, W is CH2CH=CH2, X and Y taken together with the carbon atom to which they are attached are C(O), R4" is C(O)CH3, and R2' is H; was prepared as antibacterial agent (no data).

L1 ANSWER 28 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:236004 CA

AB 6,11-Bicyclic erythromycin macrolides I, wherein A is OH, OR1, R1 is hydroxy protecting group, aryl, heteroaryl, O-aryl, O-heteroaryl, H, halogen, alkyl, alkenyl, alkynyl, sulfonyl, amide, sulfonamide, amine; B is H, deuterium, halogen, OH, aryl, heteroaryl, OR1; A and B together are O, acetal, thioacetal, acyl, alkene, oxime; X and Y are independently H, deuterium, OR1, amine; X and Y together are CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, OH, OR1, alkoxy, ester, O-amide, sulfonyl, heterocycle, or pharmaceutically acceptable salts, esters, or prodrugs thereof which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Title compds. were tested for in vitro antibacterial activity by a micro-dilution method and demonstrated an MIC in the range from about 64 µg/mL to about 0.03 µg/mL. According to the methods of treatment of the present invention, bacterial infections are treated or prevented in a patient such as a human or other animals by administering to the patient a therapeutically effective amount of a compound of the invention, in such amts. and for such time as is necessary to achieve the desired result (no data). Thus, I (A and B together with the carbon atom to which they are attached = C:CH2, X and Y together with the carbon atom to which they are attached = C:NAC, L = Et, W is NMe2, Z = R = H) was prepared and tested as antibacterial agent.

L1 ANSWER 29 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:217956 CA

AB Motilide erythromycin compds. I, wherein R1 is C1-C10 alkyl, C2-C10 alkenyl, C2-C10 alkynyl, aryl, or hetero-cyclo; R2 is H, C1-C5 alkyl,



C2-C5 alkenyl, C2-C5 alkynyl, aryl, or hetero-cyclo; R3 is H or OH; and R4 is H or OH, or R3 and R4 taken together form O-(C=O)-O; with the proviso that when (a) R1 is Et and (b) R2 is OH or R3 and R4 taken together form O-C(O)-O, then R2 is not H or Me, and methods for their preparation and use in the treatment of diseases or conditions characterized by impaired gastric motility. Thus, I (R1 = Et, R2 = iPr, R3 = R4 = OH) was prepared and tested as antibacterial agent against Streptococcus pneumoniae ATCC 6301 and medicament for treating a disorder of gastric disorder in a patient. Illustrative examples of disorders that may be treated with the inventive compds. include but are not limited to gastro-paresis, gastro-esophageal reflux disease, anorexia, gall bladder stasis, postoperative paralytic ileus, scleroderma, intestinal pseudo-obstruction, gastritis, emesis, and chronic constipation (colonic inertia).

L1 ANSWER 30 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:217887 CA

AB In nature, the attachment of sugars to small mols. is often used to mediate targeting, mechanism of action and/or pharmacol. As an alternative to pathway engineering or total synthesis, we report a useful method, in vitro glycorandomization (IVG), to diversify the glycosylation patterns of complex natural products. We have used flexible glycosyltransferases on nucleotide diphospho-sugar (NDP-sugar) libraries to generate glyco-randomized natural products and then applied chemoselective ligation to produce mono-glycosylated vancomycins that rival vancomycin.

L1 ANSWER 31 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:164138 CA

AB Antibacterial erythromycin derivs. I, wherein R1 is H, Ac, Bz, TMS, triethylsilyl; R2 is -CH=CH-, -C.tplbond.C-; R3 is heterocycle, tetra-azolyl, furanyl, imidazolyl, iso-thiazolyl, isoxazolyl, naphthyl, 1,2,3-oxadiazolyl, oxazolyl, Ph, pyrazinyl, pyrazolyl, pyridazinyl, pyrimidinyl, pyrrolyl, 1,3,4-thiadiazolyl, thiazolyl, pyridyl (pyridinyl), thienyl (thiophenyl), 1,3,5-triazinyl, 1,2,3-triazolyl; X is H, F, heterocycle; with improved pharmacokinetic profiles and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prophylaxis and treatment of bacterial infections using the compds. are disclosed. Thus, (3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-10-(((2S,3R,4S,6R)-4-(dimethylamino)-3-hydroxy-6-methyltetrahydro-2H-pyran-2-yl)oxy)-4-ethyl-7-fluoro-3a,7,9,11,13,15-hexamethyl-11-(((2E)-3-(5-(2-methyl-2H-tetrazol-5-yl)thien-2-yl)prop-2-enyl)oxy)octahydro-2H-oxacyclotetradecino[4,3-d][1,3]oxazole-2,6,8,14(1H,7H,9H)-tetrone was prepared and tested as antibacterial agent. The daily therapeutically effective amount of the compds. administered to a patient in single or divided doses range from about 0.1 to about 200 mg/kg body weight, preferably from about 0.25 to about 100 mg/kg body weight. Compds. of this invention displayed antibacterial activity superior to the control, which control demonstrated no antibacterial activity. The pharmacokinetic profiles were evaluated using cassette dosing protocols in dog at a dose of 1 mg/kg.

L1 ANSWER 32 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:164136 CA

AB Antibacterial tricyclic macrolide erythromycin derivs. I, wherein R1 is H, R11, CO2R11, amide, alkyl; R2 is H, R12; R12 is hydroxy protecting group; one of R3 or R4 is H, the other is OH, OR12; OR11, ester, OCONH2, alkoxy; R3 and R4 together are O, CH2O; R5 is H, R11, ester, amide; R6 and R10 are independently H, R13; R7 is O, =NOH, oxime one of R8 and R9 is H, and the other is OH, alkoxy; R8 and R9 together are O; R11-R13 are independently alkyl, (CH2)alkenyl, (CH2)alkynyl, cycloalkyl, halo, aryl, heteroaryl, and heterocyclyl; and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prophylaxis or treatment of bacterial infections using the compds. are disclosed. Thus,

(2aR,4aS,6R,8S,9R,10R,12R,15R,15aS,15bS)-15-ethyl-12-fluoro-8-methoxy-3,4a,6,8,10,12,15a-hepta-methyl-2,5,11,13-tetraoxohexadecahydro-2H-1,14-dioxo-3-azacyclotetradeca(1,2,3-cd)pentalen-9-yl-3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranoside was prepared and tested in vitro as antibacterial agent. The ability of the compds. to inhibit bacterial growth in vitro was superior to the control and in the range of about 0.5  $\mu$ g/mL to greater than about 128  $\mu$ g/mL.

L1 ANSWER 33 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:146397 CA

AB Novel 6,11-4-carbon bridged erythromycin ketolides I, wherein W is substituted alkylidene, X and Y are independently H, deuterium, OH, alkoxy, amine; XY are together CO, imine, oxime, amide; L is hydroxy-alkyl, alkyl, alkenyl, alkynyl; Z is H, Me, halogen; Rx is hydroxy protecting group; K is H, alkoxy, ester, carbamate, sulfoxide, sugar residue; pharmaceutically-acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically-acceptable carrier are described. Also described are methods for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically effective amount of a compound

of the invention and processes for the preparation of such compds. Thus, I (W is  $-\text{CH}_2\text{CH}=\text{CHCH}_2-$ , X and Y taken together with the carbon atom they are attached to form  $\text{C}=\text{N}-\text{OH}$ , L is Et, Rx = H; K is sugar residue Q) was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated an MIC in the range from about 64  $\mu$ g/mL to about 0.03  $\mu$ g/mL.

L1 ANSWER 34 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:146396 CA

AB Novel 6,11-4-carbon bridged ketolides I, wherein W is substituted alkylidene, X and Y are independently H, deuterium, OH, alkoxy, amine; XY are together CO, imine, oxime, amide; L is hydroxy-alkyl, alkyl, alkenyl, alkynyl; Z is H, Me, halogen; Rx is hydroxy protecting group, pharmaceutically-acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically-acceptable carrier are described. Also described are a method for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically effective amount of a compound

of the invention and processes for the preparation of such compds. Thus, I (W is  $-\text{CH}_2\text{CH}=\text{CHCH}_2-$ , X and Y taken together with the carbon atom they are attached to form  $\text{C}=\text{NC}(\text{O})\text{CH}_3$ , L is Et, Z = Rx = H) was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated antibacterial activity in vitro with an MIC in the range from about 64  $\mu$ g/mL to about 0.03  $\mu$ g/mL.

L1 ANSWER 35 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:111633 CA

AB Antibacterial compds. having formula I and formula II, wherein R1 is H, OH, ether, O-amide, O-ester; R2 is H, hydroxyl protecting group, R3 and R4 are independently H, OH, ether, O-ester,  $\text{NH}_2$ , amine, O-amide, O-ester; R3R4 are together O, oxime; R5 and R6 are independently H, OH, ether, O-ester,  $\text{NH}_2$ , amine, O-amide; R5R6 are together O; R7 and R8 are independently OH, ether, ester, O-ester, O-amide, ether; R7R8 are together OX1 is H, F, Cl, Br; and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates employed in the processes, compns. containing the compds., and methods for prophylaxis or treatment of bacterial infections in a fish or a mammal using the compds. are disclosed. Thus, I [R1 = OH, R2 = R3 = R6 = R7 = H, R4 =  $\text{NH}_2$ , R6 = (2-aminoethyl) $\text{NH}(\text{O})\text{CO}$ ] was prepared and tested in vitro as antibacterial agent.

L1 ANSWER 36 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:94231 CA

AB Antibacterial compds. having formula I and formula II, wherein one of A and B is CH<sub>2</sub> and the other is NR<sub>8</sub>; R<sub>1</sub> is H, alkyl; R<sub>1</sub>R<sub>8</sub> is CH<sub>2</sub>, CO; R<sub>2</sub> is H, hydroxy protecting group; R<sub>3</sub> is H and R<sub>4</sub> is OH, alkoxy, O-ester, OCONH<sub>2</sub>, O-amide, ether; R<sub>3</sub>R<sub>4</sub> is O; R<sub>5</sub> is H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocycle, NH<sub>2</sub>, substituted amine, ester, amide; one of R<sub>6</sub> and R<sub>7</sub> is H and the other is OH, ether, ester, O-ester, O-amide; R<sub>6</sub>R<sub>7</sub> together are O, CH<sub>2</sub>O; R<sub>8</sub> is H, ester, amide, X<sub>1</sub> is H, F; and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prophylaxis or treatment of bacterial infections using the compds. are disclosed. Thus, (2R,3S,5S,8R,10S,11R,12S,13S,14R)-2-ethyl-3,10-dihydroxy-3,5,8,10,12,14-hexamethyl-15-oxo-11-((3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy)-1-oxa-6-azacyclopentadecan-13-yl-2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranoside was prepared and. Compds. of this invention displayed in vitro antibacterial activity in the range of about 0.03 μg/mL to greater than about 128 μg/mL. It is meant to be understood that certain metabolites of compds. of this invention, which metabolites are produced by in vitro or in vivo metabolic processes, would also be useful as antibacterials.

L1 ANSWER 37 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:77362 CA

AB Macrolide erythromycin I, in which R<sub>1</sub> is H, R; R is a OH protecting moiety; R<sub>3</sub> is CH<sub>2</sub>R<sub>4</sub>, CH<sub>2</sub>CH<sub>2</sub>R<sub>5</sub>, CH<sub>2</sub>CH<sub>2</sub>R<sub>6</sub>; R<sub>4</sub> is alkyl; R<sub>5</sub> and R<sub>6</sub> are independently alkenyl interrupted with one or two moieties independently selected from the group consisting of O, =N, NH, N(alkyl), S, S(O), S(O)<sub>2</sub>; X<sub>1</sub> is hydrogen or fluoride, were prepared and which are useful as antibacterials for penicillin-resistant *Streptococcus pneumoniae*, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prophylaxis or treatment of bacterial infections. Thus, (3R,5R,6R,7S,9R,10E,11S,12R,13S,14R)-6-(((2S,3R,4S,6R)-4-(dimethylamino)-3-hydroxy-6-methyltetrahydro-2H-pyran-2-yl)oxy)-14-ethyl-12,13-dihydroxy-7-methoxy-3,5,7,9,11,13-hexamethylxacyclotetradecane-2,4,10-trione was prepared and tested as antibacterial agent. Compds. of this invention displayed antibacterial activity against penicillin-resistant *Streptococcus pneumoniae* superior to the control, which control demonstrated no antibacterial activity (no data).

L1 ANSWER 38 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:77361 CA

AB The present invention discloses preparation of erythromycin macrolide analogs, such as I [A, B, D, E = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocycle, CN, OH, SH, CO<sub>2</sub>H, ester, amide, etc.; AD, AE, BD = one- to five-membered alkylene, two- to five-membered hetero-alkylene; AB, DE = one- to seven-membered alkylene, two- to seven-membered hetero-alkylene; L = alkylene, alkynylene, amine, imine, etc.; Z = (E)-CH=CH, (Z)-CH=CH, C.tplbond.C; R = H, protecting group; W = H, aryl, heteroaryl, heterocycle; X = H, F; Y = arylene, hetero-arylene], and salts, prodrugs, and salts of prodrugs thereof, for treating bacterial infections. Thus, title compds. were prepared and tested for their antibacterial activity against *Staphylococcus aureus*, *Streptococcus pyogenes* and *Streptococcus pneumoniae*. Thus, (2R,4R,5R,6R,8R,11R,12S,19R,20R)-11-ethyl-2,4,6,8,12,19-hexamethyl-7,9,14-trioxo-4-(3-(5-((phenylamino)methyl)thien-2-yl)prop-2-ynyl)-10,13-dioxo-15,18-diaza-tricyclo[10.6.2.0<sup>15,20</sup>]icos-1(18)-en-5-yl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoside, was prepared and tested in vitro as antibacterial agent.

L1 ANSWER 39 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:59899 CA

AB There are described 11-C-substituted derivs. of erythromycin I, wherein A

is substituted alkyl, alkenyl, alkynyl, acyl, ester, amide; B, C, D may be present singly or in combination and are independently bond, H, halogen, alkyl, aryl, heterocyclic, ether, O, oxime, hydrazine, S, amine; R is H, hydroxy protecting group; R1 is H, alkyl, alkenyl, alkynyl, acyl, ester, amide; W is H, halogen, alkyl, alkenyl, alkynyl, and pharmaceutically acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically acceptable carrier. Also described is a method for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically-effective amount of a compound of the invention, and processes for the preparation of such compds. Thus, I (A = CHO, B and D together are O, C = R = R1 = W = H) was prepared and tested in vitro as antibacterial agent. Compds. were tested for in vitro antibacterial activity by a micro-dilution method. The compds. of the invention generally demonstrated an MIC in the range from about 64 µg/mL to about 0.03 µg/mL.

L1 ANSWER 40 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 140:16930 CA

AB 3-Descladinosyl-6-O-carbamoyl and 6-O-carbonoyl macrolide of the formula I, wherein R1 is H, alkyl, alkenyl, alkynyl, wherein the substituents are independently halogen, alkyl, alkenyl, alkynyl, cycloalkyl, oxo, aryl, heteroaryl, heterocyclo, CN, nitro, ester, carboxylate, ether, thioether, sulfoxide, sulfonyl, acyl, amide; R3 is H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heteroaryl; R4 is H or a hydroxy protecting group; R5 is H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclo, arylalkyl, arylalkenyl, arylalkynyl, heterocycloalkyl, heterocycloalkenyl, and heterocycloalkynyl, cycloalkyl, cycloalkenyl, alkoxyalkyl; L is absent or C(O); W is NH or O; X and X', together with the carbon atom to which they are attached, form C=O, C=NRC, or C=NORC, wherein RC is independently selected from H, alkyl, alkenyl and alkynyl; and Z is selected from C(O), C(O)-O, amide, and SO2; R6 is aryl, heteroaryl, heterocyclyl, cycloalkyl, alkyl, alkenyl, alkynyl, wherein the substituents are selected from halogen, alkyl, alkenyl, alkynyl, cycloalkyl, oxo, alkoxyimino, aryl, heteroaryl, heterocyclo, CN, nitro, ester, carboxylate, ether, thioether, sulfoxide, sulfonyl, acyl, amide; were prepared as antibacterial agents, wherein the condition is selected from community-acquired pneumonia, upper and lower respiratory tract infections, skin and soft tissue infections, meningitis, hospital-acquired lung infections, and bone and joint infections. Thus, macrolide II was prepared and tested in vitro as antibacterial agent (MIC range from 0.03 to > 16 µg/mL). The bacterium is selected from Staphylococcus aureus, Staphylococcus epidermidis, Streptococcus pneumoniae, Enterococcus spp., Moraxella catarrhalis and H. influenzae.

L1 ANSWER 41 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:396138 CA

AB 6,11-Bicyclic erythromycin macrolides I, wherein A is OH, OR1, R1 is hydroxy protecting group, aryl, heteroaryl, O-aryl, O-heteroaryl, H, halogen, alkyl, alkenyl, alkynyl, sulfonyl, amide, sulfonamide, amine; B is H, deuterium, halogen, OH, aryl, heteroaryl, OR1; A and B together are O, acetal, thioacetal, acyl, alkene, oxime; X and Y are independently H, deuterium, OR1, amine; X and Y together are CO, imine; L is Me, Et, CH(OH)Me, alkyl, alkenyl, alkynyl; W is amine; Z is H, OH, OR1, alkoxy, ester, O-amide, sulfonyl, heterocycle, or pharmaceutically acceptable salts, esters, or prodrugs thereof which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Title compds. were tested for in vitro antibacterial activity by a micro-dilution method and demonstrated an MIC in the range from about 64 µg/mL to about 0.03 µg/mL. According to the methods of treatment of

the present invention, bacterial infections are treated or prevented in a patient such as a human or other animals by administering to the patient a therapeutically effective amount of a compound of the invention, in such amts. and for such time as is necessary to achieve the desired result (no data). Thus, I (A and B together with the carbon atom to which they are attached = C:CH<sub>2</sub>, X and Y together with the carbon atom to which they are attached = C:NAC, L = Et, W is NMe<sub>2</sub>, Z = R = H) was prepared and tested as antibacterial agent.

L1 ANSWER 42 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:381701 CA

AB Antibacterial erythromycin derivs. I, wherein R<sub>1</sub> is H, Ac, Bz, TMS, triethylsilyl; R<sub>2</sub> is -CH=CH-, -C.tplbond.C-; R<sub>3</sub> is heterocycle, tetra-azolyl, furanyl, imidazolyl, iso-thiazolyl, isoxazolyl, naphthyl, 1,2,3-oxadiazolyl, oxazolyl, Ph, pyrazinyl, pyrazolyl, pyridazinyl, pyrimidinyl, pyrrolyl, 1,3,4-thiadiazolyl, thiazolyl, pyridyl (pyridinyl), thienyl (thiophenyl), 1,3,5-triazinyl, 1,2,3-triazolyl; X is H, F, heterocycle; with improved pharmacokinetic profiles and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prophylaxis and treatment of bacterial infections using the compds. are disclosed. Thus, (3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-10-(((2S,3R,4S,6R)-4-(dimethylamino)-3-hydroxy-6-methyltetrahydro-2H-pyran-2-yl)oxy)-4-ethyl-7-fluoro-3a,7,9,11,13,15-hexamethyl-11-(((2E)-3-(5-(2-methyl-2H-tetrazol-5-yl)thien-2-yl)prop-2-enyl)oxy)octahydro-2H-oxacyclotetradecino[4,3-d][1,3]oxazole-2,6,8,14(1H,7H,9H)-tetrone was prepared and tested as antibacterial agent. The daily therapeutically effective amount of the compds. administered to a patient in single or divided doses range from about 0.1 to about 200 mg/kg body weight, preferably from about 0.25 to about 100 mg/kg body weight. Compds. of this invention displayed antibacterial activity superior to the control, which control demonstrated no antibacterial activity. The pharmacokinetic profiles were evaluated using cassette dosing protocols in dog at a dose of 1 mg/kg.

L1 ANSWER 43 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:365175 CA

AB Antibacterial tricyclic macrolide erythromycin derivs. I, wherein R<sub>1</sub> is H, R<sub>11</sub>, CO<sub>2</sub>R<sub>11</sub>, amide, alkyl; R<sub>2</sub> is H, R<sub>12</sub>; R<sub>12</sub> is hydroxy protecting group; one of R<sub>3</sub> or R<sub>4</sub> is H, the other is OH, OR<sub>12</sub>; OR<sub>11</sub>, ester, OCONH<sub>2</sub>, alkoxy; R<sub>3</sub> and R<sub>4</sub> together are O, CH<sub>2</sub>O; R<sub>5</sub> is H, R<sub>11</sub>, ester, amide; R<sub>6</sub> and R<sub>10</sub> are independently H, R<sub>13</sub>; R<sub>7</sub> is O, =NOH, oxime one of R<sub>8</sub> and R<sub>9</sub> is H, and the other is OH, alkoxy; R<sub>8</sub> and R<sub>9</sub> together are O; R<sub>11</sub>-R<sub>13</sub> are independently alkyl, (CH<sub>2</sub>)alkenyl, (CH<sub>2</sub>)alkynyl, cycloalkyl, halo, aryl, heteroaryl, and heterocyclyl; and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prophylaxis or treatment of bacterial infections using the compds. are disclosed. Thus, (2aR,4aS,6R,8S,9R,10R,12R,15R,15aS,15bS)-15-ethyl-12-fluoro-8-methoxy-3,4a,6,8,10,12,15a-hepta-methyl-2,5,11,13-tetraoxohexadecahydro-2H-1,14-dioxo-3-azacyclotetradeca(1,2,3-cd)pentalen-9-yl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoside was prepared and tested in vitro as antibacterial agent. The ability of the compds. to inhibit bacterial growth in vitro was superior to the control and in the range of about 0.5 μg/mL to greater than about 128 μg/mL.

L1 ANSWER 44 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:365174 CA

AB 6,11-3C-bicyclic 9a-azalide erythromycin derivs. I were prepared, wherein A is OH, alkoxy, aryl, heteroaryl, H, halogen, alkyl, alkynyl, alkenyl, sulfonyl, amide, amine, sulfonamide; B is H, deuterium, halogen, OH, aryl, heteroaryl, CO, ester, thioester, oxime, imine; L is Me, Et, CH(OH)Me, alkyl, alkynyl, alkenyl; D is substituted amine; X is H; Y is H, OH, alkoxy, ester, amide, sulfonyl; X and Y together are oxo; Z is H, Me, halogen; R<sub>2</sub> is H, hydroxy protecting group, which exhibit antibacterial

properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. Thus, I (AB = :CH<sub>2</sub>, D = NHMe, X = Z = H, Y = OH, L = Et, R<sub>2</sub> = Ac) was prepared and tested in vitro as antibacterial agent (MIC = 0.03 µg/mL). The total daily dose of the compds. of this invention administered to a human or other animal in single or in divided doses can be in amts., for example, from 0.01 to 50 mg/kg body weight or more usually from 0.1 to 25 mg/kg body weight. The compds. of the invention generally demonstrated an MIC in the range from about 64 µg/mL to about 0.03 µg/mL.

L1 ANSWER 45 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:365172 CA

AB Antibacterial erythromycin 9-oxime macrolides I, wherein X<sub>1</sub> is H, F; R<sub>1</sub> is alkyl, -(CH<sub>2</sub>)alkenyl, -(CH<sub>2</sub>)alkynyl, R<sub>2</sub> is hydrogen, alkyl, -(CH<sub>2</sub>)alkenyl, -(CH<sub>2</sub>)alkynyl, R<sub>3</sub> is hydrogen or R, in which R is a hydroxyl protecting moiety; one of R<sub>4</sub> and R<sub>5</sub> is hydrogen and the other is -OH; or R<sub>4</sub> and R<sub>5</sub> together are =O; and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prophylaxis or treatment of bacterial infections using the compds. are disclosed. Thus, I [R<sub>1</sub> = 3-(quinolin-3-yl)prop-2-ynyl; R<sub>2</sub> = methyl; R<sub>3</sub> = hydrogen; R<sub>4</sub> and R<sub>5</sub> taken together are = O; and X = fluoro] was prepared and tested in vitro as antibacterial agent. Compds. of this invention displayed in vitro antibacterial activity in the range of about 0.008 µg/mL to greater than about 128 µg/mL.

L1 ANSWER 46 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:365171 CA

AB Antibacterial compds. having formula I and formula II, wherein R<sub>1</sub> is H, OH, ether, O-amide, O-ester; R<sub>2</sub> is H, hydroxyl protecting group, R<sub>3</sub> and R<sub>4</sub> are independently H, OH, ether, O-ester, NH<sub>2</sub>, amine, O-amide, O-ester; R<sub>3</sub>R<sub>4</sub> are together O, oxime; R<sub>5</sub> and R<sub>6</sub> are independently H, OH, ether, O-ester, NH<sub>2</sub>, amine, O-amide; R<sub>5</sub>R<sub>6</sub> are together O; R<sub>7</sub> and R<sub>8</sub> are independently OH, ether, ester, O-ester, O-amide, ether; R<sub>7</sub>R<sub>8</sub> are together OX<sub>1</sub> is H, F, Cl, Br; and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates employed in the processes, compns. containing the compds., and methods for prophylaxis or treatment of bacterial infections in a fish or a mammal using the compds. are disclosed. Thus, I [R<sub>1</sub> = OH, R<sub>2</sub> = R<sub>3</sub> = R<sub>6</sub> = R<sub>7</sub> = H, R<sub>4</sub> = NH<sub>2</sub>, R<sub>6</sub> = (2-aminoethyl)NH(O)CO] was prepared and tested in vitro as antibacterial agent.

L1 ANSWER 47 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:365170 CA

AB Antibacterial compds. having formula I and formula II, wherein one of A and B is CH<sub>2</sub> and the other is NR<sub>8</sub>; R<sub>1</sub> is H, alkyl; R<sub>1</sub>R<sub>8</sub> is CH<sub>2</sub>, CO; R<sub>2</sub> is H, hydroxy protecting group; R<sub>3</sub> is H and R<sub>4</sub> is OH, alkoxy, O-ester, OCONH<sub>2</sub>, O-amide, ether; R<sub>3</sub>R<sub>4</sub> is O; R<sub>5</sub> is H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocycle, NH<sub>2</sub>, substituted amine, ester, amide; one of R<sub>6</sub> and R<sub>7</sub> is H and the other is OH, ether, ester, O-ester, O-amide; R<sub>6</sub>R<sub>7</sub> together are O, CH<sub>2</sub>O; R<sub>8</sub> is H, ester, amide, X<sub>1</sub> is H, F; and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prophylaxis or treatment of bacterial infections using the compds. are disclosed. Thus, (2R,3S,5S,8R,10S,11R,12S,13S,14R)-2-ethyl-3,10-dihydroxy-3,5,8,10,12,14-hexamethyl-15-oxo-11-((3,4,6-trideoxy-3-(dimethylamino)-β-D-xyllo-hexopyranosyl)oxy)-1-oxa-6-azacyclopentadecan-13-yl-2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranoside was prepared and. Compds. of this invention displayed in vitro antibacterial activity in the range of about 0.03 µg/mL to greater than about 128 µg/mL. It is meant to be understood that

certain metabolites of compds. of this invention, which metabolites are produced by in vitro or in vivo metabolic processes, would also be useful as antibacterials.

L1 ANSWER 48 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:350908 CA

AB Antibacterial erythromycin derivs. I, wherein R1 is H, Ac, Bz, TMS, triethylsilyl; R2 is -CH=CH-, -C.tplbond.C-; R3 is heterocycle, tetra-azolyl, furanyl, imidazolyl, iso-thiazolyl, isoxazolyl, naphthyl, 1,2,3-oxadiazolyl, oxazolyl, Ph, pyrazinyl, pyrazolyl, pyridazinyl, pyrimidinyl, pyrrolyl, 1,3,4-thiadiazolyl, thiazolyl, pyridyl (pyridinyl), thienyl (thiophenyl), 1,3,5-triazinyl, 1,2,3-triazolyl; X is H, F, heterocycle; with improved pharmacokinetic profiles and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prophylaxis and treatment of bacterial infections using the compds. are disclosed. Thus, (3aS,4R,7R,9R,10R,11S,13R,15R,15aR)-10-(((2S,3R,4S,6R)-4-(dimethylamino)-3-hydroxy-6-methyltetrahydro-2H-pyran-2-yl)oxy)-4-ethyl-7-fluoro-3a,7,9,11,13,15-hexamethyl-11-(((2E)-3-(5-(2-methyl-2H-tetrazol-5-yl)thien-2-yl)prop-2-enyl)oxy)octahydro-2H-oxacyclotetradecino[4,3-d][1,3]oxazole-2,6,8,14(1H,7H,9H)-tetrone was prepared and tested as antibacterial agent. The daily therapeutically effective amount of the compds. administered to a patient in single or divided doses range from about 0.1 to about 200 mg/kg body weight, preferably from about 0.25 to about 100 mg/kg body weight. Compds. of this invention displayed antibacterial activity superior to the control, which control demonstrated no antibacterial activity. The pharmacokinetic profiles were evaluated using cassette dosing protocols in dog at a dose of 1 mg/kg.

L1 ANSWER 49 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 139:338165 CA

AB There are described novel 5-O-mycaminosyltylonide (OMT) analogs I, wherein A and B are independently CHO, CN, CH:N-OR5, CH:CHNR5R6; R is H, hydroxy protecting group; R1 and R2 are independently H, OH, protected OH, alkylloxycarbonyl, OR5, halogen, NR5R6; R1R2 together are O; R3 is H, hydroxy protecting group, acyl, alkyl, alkenyl, alkynyl; R4 is M-Y, wherein M is CO, amide, alkyl-NR5, alkenyl-NR5, alkynyl-NR5; Y is H, alkyl, alkenyl, alkynyl, aryl, heterocycle; R5 and R6 are independently H, alkyl, alkenyl, alkynyl; R5R6 are O, NH, S, SO, SO2, N-alkyl, N-aryl, heteroaryl; possessing increased antibacterial activity toward Gram pos. and Gram neg. bacteria as well as macrolide resistant Gram positives and pharmaceutically acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically acceptable carrier. Also described are a method for treating bacterial infections by administering to a patient a pharmaceutical composition containing a therapeutically-effective amount of a compound of the invention, and processes for the preparation of such compds. Thus, I (A = CHO, B = CH2-NH2Me2, R1 and R2 taken together are = O, R = R3 = R4 = H) was prepared and tested as antibacterial agent. Also described are a method for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically-effective amount of a compound of the invention, and processes for the preparation of such compds. Minimal Inhibitory Concentration (MIC) was determined in 96 well  $\mu$ L plates utilizing the appropriate Mueller Hilnton Broth medium (CAMHB) for the observed bacterial isolates. In general, treatment regimens according to the present invention comprise administration to a patient in need of such treatment from about 10 mg to about 1000 mg of the compound(s) of the compds. of the present invention per day in single or multiple doses. The compds. of the invention generally demonstrated an MIC in the range from about 64  $\mu$ g/mL to about 0.03  $\mu$ g/mL.

L1 ANSWER 50 OF 55 CA COPYRIGHT 2007 ACS on STN



AN 139:338164 CA

AB There are described novel 5-O-mycaminosyltylonide (OMT) analogs I, wherein A is CHO, CN, CH:N-OR6, CH:CHNR6R7; R is H, hydroxy protecting group; R1 and R2 are independently H, OH, protected OH, alkyloxycarbonyl, OR6, halogen, NR6R7; R1R2 together are O; R3 is H, hydroxy protecting group, acyl, alkyl, alkenyl, alkynyl; R4 and R5 are independently M-Y, wherein M is CO, amide, alkyl-NR6, alkenyl-NR6, alkynyl-NR6; Y is H, alkyl, alkenyl, alkynyl, aryl, heterocycle; R6 and R7 are independently H, alkyl, alkenyl, alkynyl; R6R7 are O, NH, S, SO, SO2, N-alkyl, N-aryl, heteroaryl; possessing increased antibacterial activity toward Gram pos. and Gram neg. bacteria as well as macrolide resistant Gram positives and pharmaceutically acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically acceptable carrier. Also described are a method for treating bacterial infections by administering to a patient a pharmaceutical composition

containing a

therapeutically-effective amount of a compound of the invention, and processes for the preparation of such compds. Thus, I (A = -CHO, R1 and R2 taken together are = O, R = R3 = R4 = H, R5 = 4-quinoline-carboxyl) was prepared and tested as antibacterial agent. Compds. were tested for in vitro antibacterial activity by a micro-dilution method. Minimal Inhibitory

Concentration

(MIC) was determined in 96 well  $\mu$ L plates utilizing the appropriate Mueller Hinton Broth medium (CAMHB) for the observed bacterial isolates. The compds. of the invention generally demonstrated an MIC in the range from about 64  $\mu$ g/mL to about 0.03  $\mu$ g/mL. In general, treatment regimens according to the present invention comprise administration to a patient in need of such treatment from about 10 mg to about 1000 mg of the compound(s) of the compds. of the present invention per day in single or multiple doses.

L1 ANSWER 51 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 137:210903 CA

AB The invention discloses the use of 5-substituted nucleosides and/or prodrugs thereof together with at least one active substance in order to produce a medicament or combination preparation used in the resistance-free treatment of infectious diseases caused by bacteria or protozoa.

L1 ANSWER 52 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 136:156403 CA

AB This invention provides methods and systems to identify enzymes that act as enzyme-catalyzed therapeutic activators and the enzymes identified by these methods. Also provided by this invention are compds. activated by the enzymes as well as compns. containing these compds.

L1 ANSWER 53 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 135:195449 CA

AB Coumarin derivs., such as I [X = CH, CH2, NH, O, S; Y = H, O; R1 = H, alkyl, NH2, aminoalkyl, OR5; R2-R4 = H, OH, alkoxy, OR5; R3R4 = 5 or 6 membered heterocyclic ring; R5 = C5-20 alkyl, C5-20 alkenyl, C5-20 alkylene(C3-6 cycloalkyl), C5-20 alkenylene(C3-6cycloalkyl), C5-20 alkylene(heterocycle) and C5-20 alkenylene(heterocycle), where heterocycle represents a 3 to 5 membered heterocyclic ring containing at least one oxygen heteroatom and where said cycloalkyl or heterocycle can be substituted with one or more C1-4 alkyl; dashed line = single bond or double bond], a pharmaceutically acceptable salt or prodrug thereof, were either isolated from grapefruit oil or prepared as P-glycoprotein inhibiting compds. for lowering the resistance of target cells to selected therapeutic agents. The coumarin derivs. were tested as P-glycoprotein inhibitors for enhancing the antimicrobial and antitumor activities of other antimicrobial and cytotoxic agents. Thus, coumarin derivative II isolated from grapefruit oil combined with ethidium bromide showed susceptibility (MIC) of methicillin sensitive staphylococcus aureus (MSSA) at a concentration of 30 $\mu$ g/mL. The P-glycoprotein inhibitory activity for II



(20µg/mL) in MCF-7/ADR cells was compared with verapamil (40µg/mL).

L1 ANSWER 54 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 133:115890 CA

AB The invention relates to a process for the selection from a gene library of a gene encoding an enzyme that is capable of catalyzing the conversion of a prodrug to its active drug form. The method comprises contacting a library of lysogenic bacteria with a prodrug that causes activation of bacterial RecA when converted to its active drug form. Activation of RecA causes lysis of the bacteria, so allowing separation of bacteriophage particles released into the medium, and their subsequent genotypic anal. to isolate nucleic acid mols. in the library that encode a desired prodrug-activating enzyme.

L1 ANSWER 55 OF 55 CA COPYRIGHT 2007 ACS on STN

AN 125:104145 CA

AB A new model for the evaluation of antifungal compds. against oropharyngeal and gastrointestinal mucosal colonization by *Candida albicans* was developed. To simulate the immune deficiency observed in AIDS patients, mice were depleted of CD4+ T lymphocytes by the injection of either GK1.5 hybridoma cells or purified anti-CD4+ monoclonal antibody derived from GK1.5 hybridoma cells in tissue culture. Fluorescence-activated cell sorter anal. of splenic lymphocytes confirmed the elimination of the CD4+ T-cell population. Gentamicin, a broad-spectrum, non-absorbable aminoglycoside antibiotic, was given via the drinking water to reduce the normal gastrointestinal microflora, allowing less competition for colonization of the gastrointestinal tract by the *C. albicans* isolates. Mice were challenged by gavage and swabbing their oral mucosa with a pure culture of *C. albicans*. Gentamicin was withdrawn 3 days post-challenge, and antifungal compds. were administered via the drinking water ad libitum at concns. ranging from 25-400 µg/mL. L-693989, a water-soluble phosphorylated cyclic lipopeptide prodrug of pneumocandin Bo, and L-733560, a semisynthetic derivative of pneumocandin Bo, are inhibitors of 1,3-β-D-glucan synthesis that exhibit potent in vivo anti-*Candida* spp. and anti-*Pneumocystis carinii* activities. The efficacies of L-693989, L-733560, fluconazole, ketoconazole, and nystatin were evaluated in this new oropharyngeal and gastrointestinal model of mucosal colonization. L-693989, L-733560, fluconazole, and ketoconazole showed superior efficacies in reducing the nos. of *C. albicans* CFU per g of feces and the nos. of oral CFU relative to those in sham-treated controls in this model, while nystatin was moderately effective in reducing oral and fecal colonization by *C. albicans* in this model.